STIC Search Report
Biotech-Chem Library

STIC Database Tracking Number: 122366

TO: Ganapathy Krishnan
Location: REM-5C25/5C18
Art Unit: 1623
Friday, May 21, 2004
Case Serial Number: 10/627920

From: Paul Schulwitz
Location: Biotech-Chem Library
REM-1A65
Phone: (571)272-2527

paul.schulwitz@uspto.gov

Search Notes

Examiner Krishnan,

See attached results.

If you have any questions about this search feel free to contact me at any time.

Thank you for using STIC search services!

Paul Schulwitz
Technical Information Specialist
STIC Biotech/Chem Library
(571)272-2527

USPTO

STIC SEARCH RESULTS FEEDBACK FORM

Biotech-Chem Library

Questions about the scope or the results of the search? Contact ***the searcher or contact***:

Mary Hale, Information Branch Supervisor
571-272-2507 Remsen E01 D86

Voluntary Results Feedback Form

- *I am an examiner in Workgroup:* ☐ *Example: 1610*

- *Relevant prior art **found**, search results used as follows:*

  - ☐ 102 rejection
  - ☐ 103 rejection
  - ☐ Cited as being of interest.
  - ☐ Helped examiner better understand the invention.
  - ☐ Helped examiner better understand the state of the art in their technology.

Types of relevant prior art found:

  - ☐ Foreign Patent(s)
  - ☐ Non-Patent Literature
    (journal articles, conference proceedings, new product announcements etc.)

- *Relevant prior art **not found**:*

  - ☐ Results verified the lack of relevant prior art (helped determine patentability).
  - ☐ Results were not useful in determining patentability or understanding the invention.

Comments:

Drop off or send completed forms to STIC/Biotech-Chem Library Remsen Bldg.

Search and Information Resources Administration

Paul 122366

U.S. DEPARTMENT OF COMMERCE
Patent and Trademark Office

SEARCH REQUEST FORM

Requestor's Name: Ganapathy Krishnan Serial Number: 10/627920

Date: 5/18/04 Phone: 2-0654 Art Unit: 1623

OK: 5C25 MR: 5C18

Search Topic:

Please write a detailed statement of search topic. Describe specifically as possible the subject matter to be searched. Define any terms that may have a special meaning. Give examples or relevent citations, authors, keywords, etc., if known. For sequences, please attach a copy of the sequence. You may include a copy of the broadest and/or most relevent claim(s).

Search for:

1. Water soluble starch that has amylopectin content ever 85% by weight and purity as in claim 1. Said starch can be as microparticles (cl. 43) and in also a carrier for a protein (cl. 45).

2. ~~Please search cl 47~~
Please search the process steps of claim 47.

STAFF USE ONLY

Date completed: _____

Searcher: _____

Terminal time: _____

Elapsed time: _____

CPU time: _____

Total time: 20

Number of Searches: 60

Number of Databases: _____

Search Site

_____ STIC
_____ CM-1
_____ Pre-S

Type of Search

_____ N.A. Sequence
_____ A.A. Sequence
_____ Structure
_____ Bibliographic

Vendors

_____ IG
497.15 STN
_____ Dialog
_____ APS
_____ Geninfo
_____ SDC
_____ DARC/Questel
_____ Other

PTO-1590 (9-80)

Inventor Search

L65 ANSWER 1 OF 22 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:757024 HCAPLUS
DOCUMENT NUMBER: 139:265766
TITLE: **Starch** microparticles containing a biologically active substance
INVENTOR(S): Reslow, Mats; **Jonsson, Monica**; Larsson, Karin; **Laakso, Timo**
PATENT ASSIGNEE(S): Swed.
SOURCE: U.S. Pat. Appl. Publ., 20 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003180371	A1	20030925	US 2002-162674	20020606
WO 2003080033	A1	20031002	WO 2003-SE463	20030320

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EE, EE, ES, FI, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: SE 2002-873 A 20020321
SE 2002-1599 A 20020530

AB A process for producing microparticles, in which an aqueous solution of purified **amylopectin**-based **starch** of reduced mol. weight is prepared, the solution is combined with biol. active substance, an emulsion of **starch** droplets is formed in an outer phase of polymer solution, the **starch** droplets are made to gel, the gelled **starch** particles are dried, and a release-controlling shell is optionally applied to the particles, wherein at least one buffer substance having the ability of keeping the pH of the produced microparticles above 3 if exposing the microparticles to an aqueous environment is added at any stage during the process. Microparticles which essentially consist of this **starch**, have an amino acid content of less than 50 μg, have no covalent chemical crosslinking and have the activity of keeping the pH above 3 if exposed to a aqueous environment. For example, **starch** microparticles were prepared from highly branched **starch** with average mol. weight of 530 kDA and polyethylene glycol in histidine buffer (pH 6.4).

L65 ANSWER 2 OF 22 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:391500 HCAPLUS
DOCUMENT NUMBER: 136:391006
TITLE: Parenterally administrable microparticles containing PEG and **starch**
INVENTOR(S): Reslow, Mats; **Joensson, Monica**; **Laakso, Timo**
PATENT ASSIGNEE(S): Bioglan AB, Swed.
SOURCE: PCT Int. Appl., 59 pp.

CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002039985	A1	20020523	WO 2001-SE2166	20011005
W: AE, AG, AL, AM, AT, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EE, EE, ES, FI, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
SE 2000004218	A	20020517	SE 2000-4218	20001116
SE 518008	C2	20020813		
AU 2001092527	A5	20020527	AU 2001-92527	20011005
US 2002081336	A1	20020627	US 2001-970649	20011005
EP 1333814	A1	20030813	EP 2001-972893	20011005
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004513914	T2	20040513	JP 2002-542360	20011005

PRIORITY APPLN. INFO.:
SE 2000-4218 A 20001116
US 2001-260496P P 20010108
WO 2001-SE2166 W 20011005

AB A process for producing microparticles containing biol. active substance, in which process an aqueous solution of the said substance is prepared, this solution is mixed with an aqueous solution of PEG such that the substance is concentrated and/or solidified, the substance is optionally washed, the substance is mixed with an aqueous **starch** solution, the composition obtained is mixed, after the admixt. of the **starch** solution, with a polymer solution, thereby forming an emulsion of **starch** droplets in the polymer solution, the **starch** droplets are solidified into microparticles, the droplets are solidified into microparticles, the microparticles are dried and a release-controlling shell is optionally applied to these. A procedure for the production of highly concentrated/pptd human growth hormone suitable for immobilization with PEG is given.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L65 ANSWER 3 OF 22 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:276035 HCAPLUS
DOCUMENT NUMBER: 136:296466
TITLE: Forming purified **starch** and microparticles with controlled release of a biologically active substance
INVENTOR(S): **Gustafsson, Nils Ove; Berden, Per; Joensson, Monica; Laakso, Timo;** Reslow, Mats
PATENT ASSIGNEE(S): Bioglan AB, Swed.
SOURCE: PCT Int. Appl., 42 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002028909	A1	20020411	WO 2001-SE2168	20011005
W: AE, AG, AL, AM, AT, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EE, EE, ES, FI, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
SE 2000003616	A	20020407	SE 2000-3616	20001006
SE 517422	C2	20020604		
AU 2001094460	A5	20020415	AU 2001-94460	20011005
US 2002045745	A1	20020418	US 2001-970648	20011005
US 6689389	B2	20040210		
US 2002065411	A1	20020530	US 2001-970795	20011005
US 6616948	B2	20030909		
EP 1325035	A1	20030709	EP 2001-975101	20011005
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004510846	T2	20040408	JP 2002-532491	20011005
US 2003206961	A1	20031106	US 2003-461393	20030616
US 2004019014	A1	20040129	US 2003-627920	20030728

PRIORITY APPLN. INFO.:

SE 2000-3616	A	20001006
US 2001-260491P	P	20010108
US 2001-970648	A3	20011005
US 2001-970795	A3	20011005
WO 2001-SE2168	W	20011005

AB Production of purified, parenterally administrable **starch** by washing **starch** containing >85% **amylopectin** to remove surface-localized proteins, lipids and endotoxins, subjecting the **starch** to a mol. weight reduction by acid hydrolysis, and optionally removing residual water-soluble proteins.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L65 ANSWER 4 OF 22 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:276034 HCAPLUS
DOCUMENT NUMBER: 136:296465
TITLE: Pharmaceutically acceptable **starch**
INVENTOR(S): **Gustavsson, Nils Ove**; **Berden, Per**; **Joensson, Monica**; **Laakso, Timo**; Reslow, Mats
PATENT ASSIGNEE(S): Bioglan AB, Swed.
SOURCE: PCT Int. Appl., 43 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002028908	A1	20020411	WO 2001-SE2163	20011005
W: AE, AG, AL, AM, AT, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EE, EE, ES, FI, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
SE 2000003616	A	20020407	SE 2000-3616	20001006
SE 517422	C2	20020604		
AU 2001094457	A5	20020415	AU 2001-94457	20011005
US 2002045745	A1	20020418	US 2001-970648	20011005
US 6689389	B2	20040210		
US 2002065411	A1	20020530	US 2001-970795	20011005
US 6616948	B2	20030909		
EP 1325034	A1	20030709	EP 2001-975098	20011005
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004510845	T2	20040408	JP 2002-532490	20011005
US 2003206961	A1	20031106	US 2003-461393	20030616
US 2004019014	A1	20040129	US 2003-627920	20030728

PRIORITY APPLN. INFO.:

SE 2000-3616	A	20001006
US 2001-260491P	P	20010108
US 2001-970648	A3	20011005
US 2001-970795	A3	20011005
WO 2001-SE2163	W	20011005

AB Production of purified, parenterally administrable **starch** is accomplished by washing **starch** containing more than 85% **amylopectin** in order to remove surface-localized proteins, lipids and endotoxins, dissolving the **starch** in aqueous medium, mol. weight reduction by shearing, and optionally removal of residual water-soluble proteins, preferably by anion exchange chromatog.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L65 ANSWER 5 OF 22 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:275775 HCAPLUS
DOCUMENT NUMBER: 136:284479
TITLE: A controlled-release **starch** microparticle for parenteral administration
INVENTOR(S): Reslow, Mats; Bjoern, Soeren; Drustrup, Joern; **Gustafsson, Nils Ove**; **Joensson, Monica** ; **Laakso, Timo**
PATENT ASSIGNEE(S): Bioglan AB, Swed.
SOURCE: PCT Int. Appl., 69 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

WO 2002028375 A1 20020411 WO 2001-SE2165 20011005
W: AE, AG, AL, AM, AT, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EE, EE, ES, FI, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
SE 2000003614 A 20020407 SE 2000-3614 20001006
SE 517610 C2 20020625
AU 2001094459 A5 20020415 AU 2001-94459 20011005
EP 1328258 A1 20030723 EP 2001-975100 20011005
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
JP 2004510730 T2 20040408 JP 2002-532200 20011005
US 2002102311 A1 20020801 US 2002-970792 20020110
PRIORITY APPLN. INFO.: SE 2000-3614 A 20001006
US 2001-260495P P 20010108
WO 2001-SE2165 W 20011005

AB A parenterally administrable, biodegradable microparticle preparation, preferably composed of **amylopectin**-containing **starch** is described. The preparation contains a biol. active substance which, during the first 24 h after injection, exhibits a release of the active substance that is less than 25% of the total release, determined from a concentration-time curve in the form of the ratio between the area under the curve during the said first 24 h and the total area under the curve in question. For example, bovine serum albumin (BSA) was immobilized with high loading in **starch** microspheres produced from highly branched, sheared **starch**. A **starch** solution (40%) of sheared, highly branched **starch** with an average mol. weight of 1600 kDa, a solution of PEG 20,000 Da (38%) and a solution of BSA (14%) were prepared in 50 mM sodium phosphate, pH 8.3 and spray dried. The protein yield was 94%, the **starch** yield 89%, and the loading obtained was 10%. The mean particle size was 98 μm and with less than 10% of the distribution below 35 μm. By incubation with α-amylase or α-amylase and amyloglucosidase the microspheres were fully dissolved within 48 h.

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L65 ANSWER 6 OF 22 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:275771 HCAPLUS
DOCUMENT NUMBER: 136:299676
TITLE: Vaccine composition comprising an immunologically active substance embedded in microparticles consisting of **starch** with reduced molecular weight
INVENTOR(S): **Joensson, Monica**; Larsson, Karin; **Gustafsson, Nils Ove**; **Laakso, Timo**; Reslow, Mats
PATENT ASSIGNEE(S): Bioglan AB, Swed.
SOURCE: PCT Int. Appl., 61 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002028371	A1	20020411	WO 2001-SE2169	20011005
W:	AE, AG, AL, AM, AT, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EE, EE, ES, FI, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
SE 2000003615	A	20020407	SE 2000-3615	20001006
SE 517421	C2	20020604		
AU 2001092529	A5	20020415	AU 2001-92529	20011005
US 2002044976	A1	20020418	US 2001-970793	20011005
US 6706288	B2	20040316		
EP 1322290	A1	20030702	EP 2001-972895	20011005
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
JP 2004510724	T2	20040408	JP 2002-531997	20011005
US 2002098203	A1	20020725	US 2002-970794	20020110
US 2003211167	A1	20031113	US 2003-461445	20030616
US 6692770	B2	20040217		

PRIORITY APPLN. INFO.:			
	SE 2000-3615	A	20001006
	US 2001-260455P	P	20010108
	US 2001-970793	A3	20011005
	WO 2001-SE2169	W	20011005

AB A vaccine composition is disclosed which comprises an immunol. active substance embedded in microparticles essentially consisting of **starch** having an **amylopectin** content exceeding 85 % by weight, of which at least 80 % by weight has an average mol. weight within the range of 10-10,000 kDa.

A process for preparing such vaccine composition is also disclosed.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L65 ANSWER 7 OF 22 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:	2002:275770 HCAPLUS
DOCUMENT NUMBER:	136:299729
TITLE:	Biodegradable controlled release microparticles containing **amylopectin**-based **starch** of reduced molecular weight
INVENTOR(S):	**Joensson, Monica**; **Gustavsson, Nils Ove**; **Laakso, Timo**; Reslow, Mats
PATENT ASSIGNEE(S):	Bioglan AB, Swed.
SOURCE:	PCT Int. Appl., 62 pp. CODEN: PIXXD2
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	2
PATENT INFORMATION:	

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002028370	A1	20020411	WO 2001-SE2164	20011005
W:	AE, AG, AL, AM, AT, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH,			

CN, CO, CR, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EE, EE, ES,
FI, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG,
KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW,
MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SK, SL,
TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY,
KG, KZ, MD, RU
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

SE 2000003615	A	20020407	SE 2000-3615	20001006
SE 517421	C2	20020604		
AU 2001094458	A5	20020415	AU 2001-94458	20011005
US 2002044976	A1	20020418	US 2001-970793	20011005
US 6706288	B2	20040316		
EP 1322291	A1	20030702	EP 2001-975099	20011005

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

JP 2004510723	T2	20040408	JP 2002-531996	20011005
US 2002098203	A1	20020725	US 2002-970794	20020110
US 2003211167	A1	20031113	US 2003-461445	20030616
US 6692770	B2	20040217		

PRIORITY APPLN. INFO.:	SE 2000-3615	A	20001006
	US 2001-260455P	P	20010108
	US 2001-970793	A3	20011005
	WO 2001-SE2164	W	20011005

AB A process for producing parenterally administrable microparticles, in which an at least 20% by weight aqueous solution of purified **amylopectin** -based **starch** of reduced mol. weight is prepared, the solution is combined with a biol. active substance, an emulsion of **starch** droplets is formed in an outer phase of polymer solution, the **starch** droplets are made to gel, and the gelled **starch** particles are dried. A release-controlling shell is optionally also applied to the particles. Microparticles which essentially consist of the **starch** , have an amino acid content of <50 μg and have no covalent chemical crosslinking. Thus, **starch** microspheres containing BSA were produced from highly branched **starch** with average mol. weight of 1930 kDA. The **starch** solution was mixed with PEG and the mixture was administered s.c. and i.m. to rats. The microspheres were biodegraded rapidly within 1 wk, and the tissue is rapidly normalized.

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L65 ANSWER 8 OF 22 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:	1997:372239 HCAPLUS
DOCUMENT NUMBER:	126:347307
TITLE:	Sustained-release microparticles containing polymers
INVENTOR(S):	**Gustafsson, Nils-Ove; Laakso, Timo** ; Fyhr, Peter; **Joensson, Monica**
PATENT ASSIGNEE(S):	Biogram Ab, Swed.; Gustafsson, Nils-Ove; Laakso, Timo; Fyhr, Peter; Joensson, Monica
SOURCE:	PCT Int. Appl., 32 pp. CODEN: PIXXD2
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

WO 9714408 A1 19970424 WO 1996-SE1091 19960903
W: AL, AM, AT, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CU, CZ, CZ, DE, DE, DK, DK, EE, EE, ES, FI, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT
SE 9503672 A 19970420 SE 1995-3672 19951019
SE 505146 C2 19970630
AU 9673478 A1 19970507 AU 1996-73478 19960903
AU 699080 B2 19981119
EP 869774 A1 19981014 EP 1996-935641 19960903
EP 869774 B1 20021204
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI
JP 2000501380 T2 20000208 JP 1997-515728 19960903
IL 124052 A1 20001121 IL 1996-124052 19960903
EP 1142569 A2 20011010 EP 2001-117830 19960903
EP 1142569 A3 20030521
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI
AT 228826 E 20021215 AT 1996-935641 19960903
PT 869774 T 20030430 PT 1996-935641 19960903
ES 2125209 T3 20030701 ES 1996-935641 19960903
CZ 293059 B6 20040114 CZ 1998-1173 19960903
NO 9801558 A 19980406 NO 1998-1558 19980406
US 6120787 A 20000919 US 1998-51709 19980417
HK 1011182 A1 20030606 HK 1998-112027 19981116
PRIORITY APPLN. INFO.: SE 1995-3672 A 19951019
EP 1996-935641 A3 19960903
WO 1996-SE1091 W 19960903

AB Parenterally administrable sustained-release microparticles, are prepared from core particles in an organic solvent-free aqueous medium and an entrapped drug. The core particles are dried and coated with a release-controlling polymer by an air suspension technique so as to create a shell on the core particles without any detrimental exposure of the active substance to an organic solvent. Thus, a coating solution was prepared from Resomer RG756 200, triacetin 10, and acetaone 3123 g. **Starch** microparticles (500 g) containing 3.5% BSA were coated with the above solution

L65 ANSWER 9 OF 22 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1989:101642 HCAPLUS
DOCUMENT NUMBER: 110:101642
TITLE: Biodegradable microspheres. XII: Properties of the crosslinking chains in polyacryl **starch** microparticles
AUTHOR(S): Stjaernkvist, Peter; **Laakso, Timo**; Sjoeholm, Ingvar
CORPORATE SOURCE: Dep. Drugs, Natl. Board of Health Welfare, Uppsala, S-751 25, Swed.
SOURCE: Journal of Pharmaceutical Sciences (1989), 78(1), 52-6
CODEN: JPMSAE; ISSN: 0022-3549
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Polyacryl **starch** microparticles are under current investigation for use as lysosomotropic drug carriers. Some in vivo and in vitro properties of the crosslinking polymer chains in these particles are described. A radioactive label was introduced into the microparticle crosslinks by copolymn. of [14C]acrylamide. It was shown by gel permeation chromatog. that the amount of tetramethylethylenediamine (TEMED)

used in the microparticle polymerization affected the mol. weight composition of the hydrocarbon chains. Increasing the TEMED concentration resulted in a higher proportion of shorter polymeric chains. After i.v. administration to mice, the microparticles were taken up mainly by the liver. Although presumably nonmetabolizable, a slow elimination (terminal half-life of 4-5 mo) of the hydrocarbon chains from the liver was observed After exocytosis from the Kupffer cells or after their turnover, dissolved material is taken up by liver parenchymal cells and excreted into the bile.

L65 ANSWER 10 OF 22 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1988:210046 HCAPLUS
DOCUMENT NUMBER: 108:210046
TITLE: Biodegradable microspheres. X: Some properties of polyacryl **starch** microparticles prepared from acrylic acid-esterified **starch**
AUTHOR(S): **Laakso, Timo**; Sjoholm, Ingvar
CORPORATE SOURCE: Div. Pharm., Natl. Board of Health and Welfare, Uppsala, S-751 25, Swed.
SOURCE: Journal of Pharmaceutical Sciences (1987), 76(12), 935-9
CODEN: JPMSAE; ISSN: 0022-3549
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Acrylic acid-esterified **starch** was produced by reacting **starch** with acrylic acid chloride. This reaction was rapid and easy to control. Introduction of acrylic groups into **starch** reduced the enzymic degradability of **starch** (e.g., with 12 acrylic groups/100 glucose residues, .apprx.75% of the degradation products eluted before glucose on gel filtration). The degradability could be increased to a large extent by preincubation at pH 5.5 in vitro (e.g., after 16 wk, the corresponding figure was .apprx.15%). The acrylic acid-esterified **starch** was used to prepare polyacryl **starch** microparticles. These were rapidly eliminated from the circulation after i.v. injection in mice, mainly by uptake in the liver. The elimination of the microparticles from the liver, monitored with [14C] **starch**, displayed a half-life of .apprx.3.5-4.5 mo. After 5 and 6 mo, .apprx.30% of the initial radioactivity remained in the liver. This is equivalent to the amount anticipated from the enzymic degradation of the monomer (acrylic acid-esterified **starch**) in vitro and the innate nondegradability of the 14C-marker. These results, taken together, indicate that the ester bond between **starch** and the hydrocarbon chain in polyacryl **starch** microparticles is hydrolyzed at lysosomal pH.

L65 ANSWER 11 OF 22 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1988:137771 HCAPLUS
DOCUMENT NUMBER: 108:137771
TITLE: Biodegradable microspheres. VII: Alterations in mouse liver morphology after intravenous administration of polyacryl **starch** microparticles with different biodegradability
AUTHOR(S): **Laakso, Timo**; Edman, Peter; Brunk, Ulf
CORPORATE SOURCE: Dep. Pharm. Biochem., Univ. Uppsala, Uppsala, Swed.
SOURCE: Journal of Pharmaceutical Sciences (1988), 77(2), 138-44
CODEN: JPMSAE; ISSN: 0022-3549
DOCUMENT TYPE: Journal

LANGUAGE: English

AB The possible adverse effects, reflected as morphol. alterations, of i.v. administration of polyacryl **starch** microparticles (as drug carriers) were studied in mice. The spleen, lungs, and kidneys displayed a normal morphol. after microparticle administration, while dose-dependent reversible alterations of the liver morphol. were observed The alterations initially consisted of vacuolization of the hepatocytes along the sinusoids, followed by unicellular hepatocyte necrosis and formation of granulomas. Later, an increased number of mitotic cells reflected tissue generation and, after two weeks, the tissue morphol. was essentially normalized, with the exception of an increased number of binucleated hepatocytes. After repeated administration of the particles in low doses, the same types of alterations were observed but the kinetics of tissue repair was slower. Possible mechanisms inducing these alterations are discussed and comparisons are made with the effects of synthetic polyacrylamide microparticles.

L65 ANSWER 12 OF 22 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1988:43953 HCAPLUS
DOCUMENT NUMBER: 108:43953
TITLE: Biodegradable microspheres. VIII. Killing of Leishmania donovani in cultured macrophages by microparticle-bound primaquine
AUTHOR(S): Stjaernkvist, Peter; Artursson, Per; Brunmark, Anders; **Laakso, Timo**; Sjoeholm, Ingvar
CORPORATE SOURCE: Dep. Drugs, Natl. Board Health Welfare, Uppsala, S-751 25, Swed.
SOURCE: International Journal of Pharmaceutics (1987), 40(3), 215-22
CODEN: IJPHDE; ISSN: 0378-5173
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Primaquine (PQ) covalently bound to polyacryl **starch** microparticles kills L. donovani in cultured mouse peritoneal macrophages. The drug was derivatized with a tetrapeptide spacer and the derivative (Ala-Leu-Ala-Leu-PQ) coupled to the microparticles. This drug-carrier complex did not kill free promastigotes in suspension, but was effective against amastigotes in cultured mouse peritoneal macrophages. Lysosomal processing of the drug-carrier complex is necessary to liberate the pharmacol. active drug. The possible role of reactive oxygen intermediates for the antileishmaniasis effect was discussed.

L65 ANSWER 13 OF 22 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1987:642532 HCAPLUS
DOCUMENT NUMBER: 107:242532
TITLE: Biodegradable microspheres. Part IX. Polyacryl **starch** microparticles as a delivery system for the antileishmanial drug, sodium stibogluconate
AUTHOR(S): Baillie, A. J.; Coombs, G. H.; Dolan, T. F.; Hunter, C. A.; **Laakso, T.**; Sjoeholm, I.; Stjaernkvist, P.
CORPORATE SOURCE: Dep. Pharm., Univ. Strathclyde, Glasgow, G1 1XW, UK
SOURCE: Journal of Pharmacy and Pharmacology (1987), 39(10), 832-5
CODEN: JPPMAB; ISSN: 0022-3573
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Liver parasite burdens of Leishmania donovani in the mouse were determined after treatment with i.v. administration of Na stibogluconate in the free

or carrier form. The carrier form, in which the drug was covalently bound to polyacryl **starch** microparticles, was up to 100-fold more effective than the free form in this murine model of visceral leishmaniasis. Empty microparticles had no effect on liver parasite burdens and the enhanced in-vivo antileishmanial activity of the carrier form of the drug was apparently due to passive drug delivery to the infected liver.

L65 ANSWER 14 OF 22 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1987:428308 HCAPLUS
DOCUMENT NUMBER: 107:28308
TITLE: Cellular distribution in rat liver of intravenously administered polyacryl **starch** and chondroitin sulfate microparticles
AUTHOR(S): **Laakso, Timo**; Smedsrod, Baard
CORPORATE SOURCE: Dep. Drugs, Natl. Board Health Welfare, Uppsala, Swed.
SOURCE: International Journal of Pharmaceutics (1987), 36(2-3), 253-62
CODEN: IJPHDE; ISSN: 0378-5173
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The interaction of polyacryl **starch** and chondroitin sulfate (CS) microparticles with rat liver cells was studied in vivo and in cell cultures. Kupffer cells (KC) in culture avidly engulfed both **starch** and CS particles. Cultured liver endothelial cells (LEC) bound CS, and to a lesser degree **starch** particles. Parenchymal cells (PC) in culture did not bind any of the particles. I.v. injection of either type of particles labeled with fluorescein isothiocyanate, and subsequent isolation of the liver cells showed uptake only in KC. After i.v. administration of 14C-labeled particles, radioactivity was accumulated mainly in KC. Thus, polysaccharide microparticles in the micron range may be suitable for targeting drugs to KC.

L65 ANSWER 15 OF 22 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1987:412756 HCAPLUS
DOCUMENT NUMBER: 107:12756
TITLE: Biodegradable microspheres. VI: Lysosomal release of covalently bound antiparasitic drugs from **starch** microparticles
AUTHOR(S): **Laakso, Timo**; Stjaernkvist, Peter; Sjoeholm, Ingvar
CORPORATE SOURCE: Dep. Drugs, Natl. Board Health and Welfare, Uppsala, S-751 25, Swed.
SOURCE: Journal of Pharmaceutical Sciences (1987), 76(2), 134-40
CODEN: JPMSAE; ISSN: 0022-3549
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The possibilities of using polyacryl **starch** microparticles as a carrier for low mol. weight drugs were investigated. Two drugs containing primary amino groups, primaquine and trimethoprim, were covalently coupled to the **starch** microparticles via tri-, tetra-, and pentapeptide spacer arms. The drug-particle complexes were prepared by coupling different drug-peptide derivs. to the particles after activation of the **starch** with carbonyldiimidazole. The activation process with subsequent removal of activated groups did not change the degradability of the **starch** microparticles. The resulting drug-carrier complexes were stable in serum, while free drugs were released in a lysosome fraction. Thus, the microparticle-peptide-drug conjugates fulfill the

basic requirements for a drug carrier used to target drugs to the lysosomes (e.g., for the treatment of lysosomal parasitic diseases).

L65 ANSWER 16 OF 22 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1987:90045 HCAPLUS
DOCUMENT NUMBER: 106:90045
TITLE: Biodegradable microspheres. IV: Factors affecting the distribution and degradation of polyacryl **starch** microparticles
AUTHOR(S): **Laakso, Timo**; Artursson, Per; Sjoeholm, Ingvar
CORPORATE SOURCE: Div. Pharm., Natl. Board Health Welfare, Uppsala, S-751 25, Swed.
SOURCE: Journal of Pharmaceutical Sciences (1986), 75(10), 962-7
CODEN: JPMSAE; ISSN: 0022-3549
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Distribution and elimination of polyacryl **starch** microparticles (as lysosomotropic drug carriers) after i.v. administration in mice were studied. The half-life of the particles in the circulation is short (<5 min) and they are efficiently taken up by the reticuloendothelial (RES) system, mainly in the liver (50-90%). The stability of the particles, as studied both in vitro (with serum and lysosome prepns.) and in vivo (via the elimination from the liver), depends on two factors, the amount of initiator of the polymerization process [(N,N,N',N'-tetramethylethylenediamine) (TEMED)] [110-18-9] and the degree of derivatization of the **starch**. TEMED, used for the polymerization of the acryl groups forming the hydrocarbon chains, dets. the number and the length of the crosslinks between the **starch** mols. Large amts. of TEMED induce the formation of particles with many and short crosslinks, which are easily degraded and dissolved in serum and more rapidly eliminated from the liver. The stability in serum can be improved by coadministration of soluble **starch** [9005-25-8]. Prolonged treatment of the **starch** with acrylic acid glycidyl ester leads to a high degree of derivatization and, consequently, to less degradable particles remaining in the lysosomes of the RES. The extent of biodegrdn. of the polyacryl **starch** particles could be anticipated from in vitro degradation of the monomers (acryloylated **starch**) with amyloglucosidase [9032-08-0].

L65 ANSWER 17 OF 22 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1985:84348 HCAPLUS
DOCUMENT NUMBER: 102:84348
TITLE: Characterization of polyacryl **starch** microparticles as carriers for proteins and drugs
AUTHOR(S): Artursson, Per; Edman, Peter; **Laakso, Timo**; Sjoeholm, Ingvar
CORPORATE SOURCE: Dep. Drugs, Natl. Board Health Welfare, Uppsala, S-751 25, Swed.
SOURCE: Journal of Pharmaceutical Sciences (1984), 73(11), 1507-13
CODEN: JPMSAE; ISSN: 0022-3549
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Biodegradable microparticles of crosslinked hydroxyethyl **starch** [9005-27-0] or maltodextrin [9050-36-6] were designed as carriers of proteins and low mol. weight drugs in vivo. The synthesis of acryloyloxyhydroxypropyl derivs. of the polysaccharides and their polymerization

to microparticles are described. The polysaccharides were immobilized in the microparticles in high yields, i.e., up to 40% of the dry weight consisted of the immobilized protein. The optimal conditions of immobilization were investigated by varying the concentration of polysaccharides, the concentration of acryloyl groups, and the amount of addnl. crosslinking agent. Exclusion of the crosslinking agent gave maximal immobilization of the macromols. Enzyme kinetics, release profiles, surface localization, and heat stability of the immobilized macromols. are also presented. Microparticles based on **starch** with small amts. of acryloyl groups were completely degraded after incubation with amyloglucosidase. The degradation of microparticles in serum and in the target organelle, the lysosome, was investigated in vitro. The polyacrylic **starch** microspheres (mean diameter, 0.5 μM) constitute an attractive alternative to other drug and enzyme carriers.

L65 ANSWER 18 OF 22 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1983:595307 HCAPLUS
DOCUMENT NUMBER: 99:195307
TITLE: Determination of the degree of derivatization of acryloylated polysaccharides by Fourier transform proton NMR spectroscopy
AUTHOR(S): Lepistoe, Matti; Artursson, Per; Edman, Peter; **Laakso, Timo**; Sjoeholm, Ingvar
CORPORATE SOURCE: Div. Pharm., Natl. Board Health Welfare, Uppsala, S-751 25, Swed.
SOURCE: Analytical Biochemistry (1983), 133(1), 132-5
CODEN: ANBCA2; ISSN: 0003-2697
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Dextran, glycogen, hydroxyethyl **starch**, and maltodextrin were derivatized with acrylic acid glycidyl ester at alkaline pH. The degree of derivatization was determined by water-elimination Fourier transform NMR and compared with a bromination method. The signals from the anomeric protons of the glucose residues were used as an internal standard and the degree of derivatization was obtained from the relation between the integrated signals from the acrylic and anomeric protons. The NMR technique is more precise and convenient for the determination of acryloyl groups than the bromination method.

L65 ANSWER 19 OF 22 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1964:472177 HCAPLUS
DOCUMENT NUMBER: 61:72177
ORIGINAL REFERENCE NO.: 61:12564d-e
TITLE: The fight against potato scurf (Streptomyces scabies) through disinfection of the soil with PCNB
AUTHOR(S): **Gustafsson, Nils**
CORPORATE SOURCE: Inst. Vaestforskn., Nyaeshamm, Swed.
SOURCE: Kgl. Skogs-Lantbruksakad. Tidskr. (1962), 101, 301-16
From: CZ 1964(15), Abstr. No. 1978.
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
AB Through broad-scattering, harrowing-in or furrow-scattering, PCNB in quantities of 30, 60, and 120 kg./ha. is applied for pretreatment of the soil of potato fields. The protective action of PCNB against potato scurf rises with the dosage. Localization of PCNB in the furrows of the field does not improve the protective action. Minor decreases in yield are attributable to delayed plant development caused by PCNB, as well as

occasional reduction in the contents of **starch** and dry substance. Larger PCNB doses may cause a decline of the boiling quality and affect the taste of potatoes and cause an inclination to discolor. It is recommended, therefore, to restrict application to 50-80 kg/. ha.

L65 ANSWER 20 OF 22 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1957:43929 HCAPLUS
DOCUMENT NUMBER: 51:43929
ORIGINAL REFERENCE NO.: 51:8220a-c
TITLE: The influence of potato virus X on yield, tuber size, and chemical composition of the tubers
AUTHOR(S): Emilsson, Borje; **Gustafsson, Nils**
CORPORATE SOURCE: Inst. Plant Research Cold Storage, Nynashamn, Swed.
SOURCE: Acta. Agr. Scand. (1956), 6, 369-82
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
AB Potato varieties Bintje, grown in the south and middle of the country, and Eigenheimer, grown in the north, were infected with either a very mild, Xm, or a medium severe, Xy, strain of virus X. The yields were compared with controls at a very early and normal harvest time. In Bintje Xy decreased the yield by 16.8% and Xm by 20.1, and in the Eigenheimer Xy decreased it by 13.9. The immature harvest showed less effect on yield, indicating the differences caused by infection develop mainly during the final stages of growth. In Bintje Xm caused less severe leaf symptoms than Xy but decreased the yield more. Environmental conditions influenced the virus effect. Both strains of X-infection reduced the average tuber size in both varieties and caused a slight reduction in the content of dry matter and **starch** while increasing the N content of the tubers.

L65 ANSWER 21 OF 22 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1953:58108 HCAPLUS
DOCUMENT NUMBER: 47:58108
ORIGINAL REFERENCE NO.: 47:9832f-i
TITLE: Photographic polymeric pyrazolone couplers
INVENTOR(S): Allen, Charles F. H.; **Laakso, Thomas T. M.**
PATENT ASSIGNEE(S): Eastman Kodak Co.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2646421		19530721	US	

AB The preparation of polymeric pyrazolone color-forming couplers are described. Thus, to prepare the K salt of p-(3-methyl-5-oxo-1-pyrazolinyl)styrene-maleic acid copolymer (I), 50 parts of p-aminostyrene-maleic acid copolymer (cf. C.A. 36, 4043.4) were dissolved in 500 parts of 50% AcOH containing 38 parts of concentrated HCl. The mixture was cooled to 0° and aqueous NaNO2 (20%) was slowly added until a slight excess was present (test with **starch**-iodide paper). The diazonium solution was slowly added to a cold, well-stirred solution of 85 parts SnCl2 in 500 parts of 50% AcOH containing 75 parts of concentrated HCl. The hydrazino derivative, while still in the reaction mass, was mixed with excess NaOAc to combine with residual mineral acid. To the mixture 26 parts Et acetoacetate (II) was added and the mixture was heated overnight with stirring. The mass was precipitated by pouring into 3

vols. of acetone, the precipitate was washed twice with 1 volume portions of acetone, then H2O. After dissolving in dilute K2CO3 and filtering through felt, the solution contained 4% of I by weight I combines with 2-amino-5-diethylaminotoluene-HCl in the presence of an oxidizing agent to give an intense magenta color. Instead of II there may be used Et ethoxyiminopropionate, Et benzoylacetate, Et oxalacetate, Et anisoylacetate, and Et ethoxyiminopropionate followed by BzCl.

L65 ANSWER 22 OF 22 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1950:53755 HCAPLUS
DOCUMENT NUMBER: 44:53755
ORIGINAL REFERENCE NO.: 44:10235i,10236a-c
TITLE: Control of late blight of potatoes. V. Experiments with haulm-killing chemicals in 1949
AUTHOR(S): Emilsson, Borje; **Gustafsson, Nils**
CORPORATE SOURCE: Inst. Vaxtforskning Kyllagring, Nynashamn, Swed.
SOURCE: Kgl. Lantbruksakad. Tid. (1949), 89, 130-152
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable

AB cf. C.A. 43, 9336f. Haulm killing by chemicals decreased the total yield of potatoes but increased the number of marketable size. Most satisfactory results were obtained when the haulms were already somewhat matured. Resistance of the potato skin to injury increased proportionately to the length of time the potatoes were left in the ground after spraying. Haulm killing increased the resistance of the skin to mech. damage and decreased water loss from potatoes during storage. Haulm killing was advantageous economically in the varieties Bintje, Early Puritan, President, and Up to Date but resulted in a loss with Arran Consul. Up to Date showed the greatest advantage. Dry matter and **starch** content were higher in all varieties when the haulms were killed 8 days before harvest than when they were killed 16, 24, or 32 days before. H2SO4 (10% by volume) killed haulms more rapidly and incompletely than did EWOS 936. Other materials tested were B&TS, a dinitrophenol preparation, which gave results similar to those with EWOS 936, Stirpan, which contained dinitro-o-cresol, Santobrite, which contained Na pentachlorophenol. All of the compds. are effective enough to give practical control. Compds. which kill leaves and stalks effectively also decreased the amount of blight.

FILE 'HCAPLUS' ENTERED AT 10:48:11 ON 21 MAY 2004

FILE COVERS 1907 - 21 May 2004 VOL 140 ISS 22
FILE LAST UPDATED: 20 May 2004 (20040520/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

```
=> d que l32
L7          204 SEA FILE=REGISTRY ABB=ON  PLU=ON  AMYLOPECTIN?/CN
L9            1 SEA FILE=REGISTRY ABB=ON  PLU=ON  STARCH/CN
L23        1066 SEA FILE=HCAPLUS ABB=ON  PLU=ON  (L7 OR L9)(L)PHARMAC?
L24          38 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L23 AND ?AMYLOPECTIN?
L26      699597 SEA FILE=HCAPLUS ABB=ON  PLU=ON  INJECT? OR ?PARENTERAL? OR
                INTRAVEN?
L27           3 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L24 AND L26
L29          92 SEA FILE=HCAPLUS ABB=ON  PLU=ON  ?AMYLOPECTIN? AND L26
L30          18 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L29 AND PHARMAC?
L32          18 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L30 OR L27

=> d que l37
L7          204 SEA FILE=REGISTRY ABB=ON  PLU=ON  AMYLOPECTIN?/CN
L9            1 SEA FILE=REGISTRY ABB=ON  PLU=ON  STARCH/CN
L36          13 SEA FILE=HCAPLUS ABB=ON  PLU=ON  (L7 OR L9)(S)CARRIER(S)(PROTEI
                N OR AMINO ACID OR PEPTID? OR POLYPEPT?)
L37           1 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L36 AND (MICROPART? OR
                MICRO?(2A)PARTICL?)

=> d que l49
L9            1 SEA FILE=REGISTRY ABB=ON  PLU=ON  STARCH/CN
L26      699597 SEA FILE=HCAPLUS ABB=ON  PLU=ON  INJECT? OR ?PARENTERAL? OR
                INTRAVEN?
L46           1 SEA FILE=REGISTRY ABB=ON  PLU=ON  AMYLOPECTIN/CN
L47         216 SEA FILE=HCAPLUS ABB=ON  PLU=ON  (L9 OR L46)(L)PUR/RL
L48          17 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L47 AND (ION? OR ANION? OR
                CATION?)(2A)?EXCHANG?
L49           3 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L48 AND (L26 OR PHARMAC? OR
                VACCIN? OR IMMUN? OR (MICRO? AND ?PARTICL?) OR DRUG?)

=> s l32 or l37 or l49
```

L50 20 L32 OR L37 OR L49

=> d l50 ibib ab hitind 1-20

L50 ANSWER 1 OF 20 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:252369 HCAPLUS
DOCUMENT NUMBER: 140:269531
TITLE: Autologous ghrelin and encoding nucleic acid and foreign T cell epitope conjugates for vaccination against obesity and excess body fat increase or loss in human and animal
INVENTOR(S): Boving, Tine Elisabeth Gottschalk; Klysner, Steen
PATENT ASSIGNEE(S): Pharmexa A/s, Den.
SOURCE: PCT Int. Appl., 83 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004024183	A1	20040325	WO 2003-DK592	20030912

W: AE, AG, AL, AM, AT, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EE, EE, EG, ES, FI, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: DK 2002-1345 A 20020912
US 2002-410164P P 20020912

AB Disclosed are novel methods that generally rely on immunization against autologous ghrelin. Immunization is preferably effected by administration of analogs of autologous ghrelin, said analogs being capable of inducing antibody production against the autologous ghrelin polypeptides. Especially preferred as an immunogen is autologous ghrelin, which has been modified by introduction of one single or a few foreign, immunodominant and promiscuous T-cell epitopes. Also disclosed are nucleic acid vaccination against ghrelin and vaccination using live vaccines as well as methods and means useful for the vaccination. Such methods and means include methods for the preparation of analogs and **pharmaceutical** formulations, as well as nucleic acid fragments, vectors, transformed cells, polypeptides and **pharmaceutical** formulations.

IC ICM A61K039-39
ICS A61K039-385; A61K039-00; C07K014-435; A61P003-04
CC 15-2 (Immunochemistry)
Section cross-reference(s): 3, 63
IT Drug delivery systems
(**injections**, i.m.; autologous ghrelin and encoding nucleic acid and foreign T cell epitope conjugates for vaccination against obesity and excess body fat increase or loss)
IT Drug delivery systems
(**injections**, i.p.; autologous ghrelin and encoding nucleic acid and foreign T cell epitope conjugates for vaccination against

obesity and excess body fat increase or loss)

IT Drug delivery systems
(**injections**, s.c.; autologous ghrelin and encoding nucleic acid and foreign T cell epitope conjugates for vaccination against obesity and excess body fat increase or loss)

IT Drug delivery systems
(**parenterals**; autologous ghrelin and encoding nucleic acid and foreign T cell epitope conjugates for vaccination against obesity and excess body fat increase or loss)

IT 541-59-3, Maleimide 1398-61-4, Chitin 7693-46-1, p-Nitrophenyl chloroformate 8063-16-9, Psyllium 9000-01-5, Gum arabic 9000-07-1, Carrageenan 9000-21-9, Furcellaran 9000-28-6, Gum ghatti 9000-30-0, Guar 9000-40-2, Locust bean gum 9000-65-1, Tragacanth 9000-69-5, Pectin 9002-84-0, Polytetrafluoroethylene 9002-89-5, Poly(vinyl alcohol) 9002-98-6, PEI 9003-01-4, Polyacrylic acid 9003-05-8, Polyacrylamide 9003-39-8, Poly(vinyl pyrrolidone)) 9004-34-6, Cellulose, biological studies 9004-54-0, Dextran, biological studies 9005-25-8, Starch, biological studies 9005-32-7D, Alginic acid, derivs. 9005-79-2, Glycogen, biological studies 9011-14-7, Poly(methyl methacrylate) 9012-36-6, Agarose 9012-72-0, Glucan 9012-76-4, Chitosan 9014-63-5, Xylan 9036-88-8, Mannan 9037-22-3, **Amylopectin** 9057-02-7, Pullulan 11078-30-1, Galactomannan 11138-66-2, Xanthan 12619-70-4D, Cyclodextrin, derivs. 24937-78-8, Poly(ethylene-co-vinyl acetate) 25087-26-7, Polymethacrylic acid 25249-16-5, Poly(2-hydroxyethyl methacrylate) 25322-68-3D, Polyethylene glycol, derivs. 26780-50-7D, Poly(lactide-co-glycolide), derivs. 37294-28-3, Xyloglucan 51751-43-0D, vinylene derivs. 54991-89-8, Tamarine 83869-56-1, GM-CSF 110865-71-9, Acetan
RL: BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(autologous ghrelin and encoding nucleic acid and foreign T cell epitope conjugates for vaccination against obesity and excess body fat increase or loss)

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L50 ANSWER 2 OF 20 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:892567 HCAPLUS
DOCUMENT NUMBER: 139:386334
TITLE: Production of monomeric calicheamicin derivative cytotoxic drug/carrier conjugates
INVENTOR(S): Kunz, Arthur; Moran, Justin Keith; Rubino, Joseph Thomas; Jain, Neera; Vidunas, Eugene Joseph; Simpson, John McLean; Robbins, Paul David; Merchant, Nishith; Dijoseph, John Francis; Ruppen, Mark Edward; Damle, Nitin Krishnaji; Popplewell, Andrew George; et al.
PATENT ASSIGNEE(S): Wyeth Holdings Corporation, USA
SOURCE: PCT Int. Appl., 186 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003092623	A2	20031113	WO 2003-US13910	20030502
WO 2003092623	A3	20040318		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,

CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM,
PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT,
TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ,
MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG,
CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC,
NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ,
GW, ML, MR, NE, SN, TD, TG

US 2004082764 A1 20040429 US 2003-428894 20030502
PRIORITY APPLN. INFO.: US 2002-377440P P 20020502

AB The present invention relates to methods for. the production of monomeric cytotoxic drug/carrier conjugates (the "conjugates") with higher drug loading and substantially reduced low conjugate fraction (LCF). Cytotoxic drug derivative/antibody conjugates, compns. comprising the conjugates and uses of the conjugates are also described. Particularly, the invention relates to anti-CD22 antibody-monomeric calicheamicin conjugates. The invention also relates to the conjugates of the invention, to methods of purification of the conjugates, to **pharmaceutical** compns. comprising the conjugates, and to uses of the conjugates.

IC ICM A61K
CC 63-5 (Pharmaceuticals)
Section cross-reference(s): 15
IT Drug delivery systems
(**injections**, i.p.; production of monomeric calicheamicin derivative cytotoxic drug/carrier conjugates)
IT Drug delivery systems
(**injections**, i.v.; production of monomeric calicheamicin derivative cytotoxic drug/carrier conjugates)
IT Drug delivery systems
(**injections**, intraarterial; production of monomeric calicheamicin derivative cytotoxic drug/carrier conjugates)
IT Drug delivery systems
(**injections**, intramedullar; production of monomeric calicheamicin derivative cytotoxic drug/carrier conjugates)
IT Drug delivery systems
(**injections**, intrathecal; production of monomeric calicheamicin derivative cytotoxic drug/carrier conjugates)
IT Drug delivery systems
(**injections**, s.c.; production of monomeric calicheamicin derivative cytotoxic drug/carrier conjugates)
IT Drug delivery systems
(**injections**, transcutaneous; production of monomeric calicheamicin derivative cytotoxic drug/carrier conjugates)
IT Drug delivery systems
(**injections**, transdermal; production of monomeric calicheamicin derivative cytotoxic drug/carrier conjugates)
IT 50-69-1, Ribose 50-70-4, Sorbitol, uses 50-81-7, Ascorbic acid, uses 50-99-7, Glucose, uses 56-81-5, Glycerol, uses 56-82-6, Glyceraldehyde 57-48-7, Fructose, uses 57-50-1, Sucrose, uses 58-86-6, Xylose, uses 59-05-2, Methotrexate 59-23-4, Galactose, uses 63-42-3, Lactose 65-42-9, Lyxose 69-65-8, Mannitol 69-79-4, Maltose 77-86-1, Tromethamine 87-79-6, Sorbose 87-89-8, Inositol 89-65-6, Isoascorbic acid 99-20-7, Trehalose 107-21-1, Ethylene glycol, uses 114-04-5, Neuraminic acid 115-77-5, Pentaerythritol, uses 147-81-9, Arabinose 526-95-4, Gluconic acid 551-84-8, Xylulose 685-73-4, Galacturonic acid 1398-61-4, Chitin 1758-51-6, Erythrose 2152-76-3, Idose 3416-24-8, Glucosamine 3458-28-4, Mannose 5556-48-9, Ribulose 5987-68-8,

Altrose 6038-51-3, Allose 6556-12-3, Glucuronic acid 6814-36-4, Mannuronic acid 7535-00-4, Galactosamine 7647-14-5, Sodium chloride, uses 9000-07-1, Carrageenan 9000-69-5, Pectins 9004-34-6, Cellulose, uses 9004-54-0, Dextran 40,, uses 9004-61-9, Hyaluronic acid 9005-25-8, Starch, uses 9005-32-7, Alginic acid 9005-65-6, Polysorbate 80, 9005-79-2, Glycogen, uses 9005-82-7, Amylose 9007-27-6, Chondroitin 9012-36-6, Agarose 9012-72-0, Glucan 9013-95-0, Levan 9014-63-5, Xylans 9036-88-8, Mannan 9037-22-3, **Amylopectin** 9037-55-2, Galactan 9037-90-5, Fructan 9046-38-2, Galacturonan 9046-40-6, Pectic acid 9057-02-7, Pullulan 9060-75-7, Arabinan 9072-19-9, Fucoidan 11138-66-2, Xanthan gum 17598-81-1, Tagatose 19163-87-2, Gulose 23140-52-5, Psicose 25322-68-3, Polyethylene glycol 25322-69-4, Polypropylene glycol 25525-21-7, Glucaric acid 29884-64-8, Threose 30077-17-9, Talose 37331-28-5, Pustulan 40031-31-0, Erythrulose 53106-52-8, Pentose 60495-58-1, Galactocarolose 64612-25-5, Fucan 71927-65-6, Heptose 75634-40-1, Dermatan 93780-23-5, Hexose 169799-44-4, Keratin 199297-32-0, Pentose
RL: ARG (Analytical reagent use); ANST (Analytical study); USES (Uses)
(production of monomeric calicheamicin derivative cytotoxic drug/carrier conjugates)

L50 ANSWER 3 OF 20 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:242150 HCAPLUS
DOCUMENT NUMBER: 138:276257
TITLE: Controlled release compositions containing opioids and polymers
INVENTOR(S): Fischer, Gina; Bar-Shalom, Daniel; Slot, Lillian; Jensen, Christine
PATENT ASSIGNEE(S): Egalet A/S, Den.
SOURCE: PCT Int. Appl., 66 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003024430	A1	20030327	WO 2002-DK619	20020923

W: AE, AG, AL, AM, AT, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EE, EE, ES, FI, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: DK 2001-1376 A 20010921
AB A **pharmaceutical** composition for controlled release of an active substance. The active substance is released into an aqueous medium by erosion of at least one surface of the composition The composition comprises a matrix containing polymer or a mixture of polymers, an active substance and, optionally, 1 or more excipients, and a coating. A zero order drug release is desirable. The matrix typically comprises PEG and the active substance is typically an opioid such as morphine or a glucuronide. The coating comprises a first cellulose derivative which is substantially insol.

in the aqueous medium and at least 1 of a second cellulose derivative which is soluble or dispersible in water, a plasticizer, and, a filler. A composition was prepared from the following ingredients: PEG-200,000 83.5, and morphine sulfate 16.5% by weight The coating and the matrix were prepared as described above. The composition was 9 mm long and had elliptic formed surfaces. Morphine sulfate (96.65%) was released in 8 h.

IC ICM A61K009-28
ICS A61K047-00; A61K009-22; A61K031-485

CC 63-6 (Pharmaceuticals)
Section cross-reference(s): 1

IT Molding of plastics and rubbers
(**injection**; controlled release compns. containing opioids and polymers)

IT Natural products, **pharmaceutical**
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(opium; controlled release compns. containing opioids and polymers)

IT 50-21-5, Lactic acid, biological studies 50-69-1, Ribose 50-70-4, Sorbitol, biological studies 50-81-7, Vitamin C, biological studies 50-99-7, Glucose, biological studies 56-84-8, Aspartic acid, biological studies 56-86-0, Glutamic acid, biological studies 57-03-4, Glycerophosphoric acid 57-11-4, Stearic acid, biological studies 57-42-1, Meperidine 57-48-7, Fructose, biological studies 57-50-1, Sucrose, biological studies 58-86-6, Xylose, biological studies 62-53-3, Aniline, biological studies 62-54-4, Calcium acetate 62-67-9, Nalorphine 63-42-3, Lactose 64-18-6, Formic acid, biological studies 64-19-7, Acetic acid, biological studies 64-39-1, Promedol 65-42-9, Lyxose 65-85-0, Benzoic acid, biological studies 69-65-8, Mannitol 75-15-0, Carbon disulfide, biological studies 76-41-5, Oxymorphone 76-42-6, Oxycodone 76-57-3, Codeine 76-58-4, Ethylmorphine 76-99-3, Methadone 77-07-6, Levorphanol 77-14-5, Proheptazine 77-15-6, Ethoheptazine 77-20-3, Alphaprodine 77-86-1, Tris(hydroxymethyl)aminomethane 77-92-9, Citric acid, biological studies 79-10-7, Acrylic acid, biological studies 79-14-1, Glycolic acid, biological studies 87-69-4, Tartaric acid, biological studies 87-89-8, Inositol 87-99-0, Xylitol 88-99-3D, Phthalic acid, esters 90-64-2, Mandelic acid 98-10-2, Benzenesulfonamide 98-95-3, Nitrobenzene, biological studies 100-02-7, p-Nitrophenol, biological studies 109-97-7, Pyrrole 110-15-6, Succinic acid, biological studies 110-16-7, Maleic acid, biological studies 110-17-8, Fumaric acid, biological studies 110-44-1, Sorbic acid 110-94-1, Glutaric acid 111-16-0, Pimelic acid 111-42-2, Diethanolamine, biological studies 112-72-1, Myristyl alcohol 112-92-5, Stearyl alcohol 117-81-7, Dioctyl Phthalate 123-56-8, Succinimide 123-76-2, Levulinic acid 124-04-9, Adipic acid, biological studies 125-28-0, Dihydrocodeine 125-29-1, Hydrocodone 127-08-2, Potassium acetate 127-09-3, Sodium acetate 127-17-3, Pyruvic acid, biological studies 127-35-5, Phenazocine 140-99-8, Calcium succinate 141-82-2, Malonic acid, biological studies 143-28-2, Oleyl alcohol 143-52-2, Metopon 144-14-9, Anileridine 144-55-8, Sodium hydrogen carbonate, biological studies 144-62-7, Oxalic acid, biological studies 147-81-9, Arabinose 149-91-7, Gallic acid, biological studies 152-02-3, Levallorphan 288-32-4, Imidazole, biological studies 298-12-4, Glyoxylic acid 298-14-6 302-01-2, Hydrazine, biological studies 302-41-0, Piritramide 357-56-2, Dextromoramide 359-83-1, Pentazocine 427-00-9, Desomorphine 437-38-7, Fentanyl 441-61-2, Ethylmethylthiambutene 463-77-4, Carbamic acid, biological studies 466-40-0, Isomethadone 466-97-7, Normorphine 466-99-9, Hydromorphone 467-18-5, Myrophine 467-83-4, Dipipanone 467-84-5, Phenadoxone 467-85-6, Normethadone 467-86-7, Dioxaphetyl

butyrate 468-07-5, Phenomorphan 468-56-4, Hydroxypethidine 469-62-5, Dextropropoxyphene 469-79-4, Ketobemidone 471-34-1, Calcium carbonate, biological studies 471-47-6, Oxamic acid 473-81-4, Glyceric acid 490-79-9, Gentisic acid 497-19-8, Sodium carbonate, biological studies 506-87-6, Ammonium carbonate 509-60-4, Dihydromorphine 509-78-4, Dimenoxadol 524-84-5, Dimethylthiambutene 526-94-3, MonoSodium tartrate 545-90-4, Dimepheptanol 546-93-0, Magnesium carbonate 557-04-0 561-27-3, Heroin 561-48-8, Norpipanone 561-76-2, Properidine 562-26-5, Phenoperidine 565-63-9, Angelic acid 584-08-7, Potassium carbonate 593-67-9, Ethenamine 597-44-4, Citramalic acid 613-78-5, β-Naphthyl salicylate 621-82-9, Cinnamic acid, biological studies 639-48-5, Nicomorphine 868-14-4, MonoPotassium tartrate 911-65-9, Etonitazene 994-36-5, Sodium citrate 1310-58-3, Potassium hydroxide (K(OH)), biological studies 1310-73-2, Sodium hydroxide, biological studies 1333-84-2, Aluminum oxide hydrate 1336-21-6, Ammonium hydroxide 1344-28-1, Aluminum oxide, biological studies 1531-12-0, Norlevorphanol 1592-23-0, Calcium stearate 1724-02-3, Glutaconic acid 2466-09-3, Pyrophosphoric acid 3164-34-9, Calcium tartrate 3458-28-4, Mannose 3572-80-3, Cyclazocine 3688-85-5, Diapamide 3734-52-9, Metazocine 3861-76-5, Clonitazene 4468-02-4, Zinc gluconate 5987-68-8, Altrose 6038-51-3, Allose 6915-15-7, Malic acid 7429-90-5D, Aluminum, compds. 7447-40-7, Potassium chloride (KCl), biological studies 7558-79-4, DiSodium hydrogen phosphate 7558-80-7, Sodium dihydrogen phosphate 7601-54-9, TriSodium phosphate 7631-86-9, Silica, biological studies 7632-05-5, Sodium phosphate 7647-01-0, Hydrochloric acid, biological studies 7647-14-5, Sodium chloride, biological studies 7664-38-2, Orthophosphoric acid, biological studies 7664-38-2D, Phosphoric acid, esters 7664-93-9, Sulfuric acid, biological studies 7693-13-2, Calcium citrate 7733-02-0, Zinc sulfate 7757-82-6, Sodium sulfate, biological studies 7757-93-9, DiCalcium phosphate 7758-11-4, Potassium monohydrogen phosphate 7778-18-9, Calcium sulfate 7778-49-6, Potassium citrate 7778-77-0, Potassium dihydrogen phosphate 7778-80-5, Potassium sulfate, biological studies 7786-30-3, Magnesium chloride (MgCl2), biological studies 7803-49-8, Hydroxylamine, biological studies 9000-28-6, Ghatti gum 9000-69-5, Pectin 9002-18-0, Agar 9003-11-6 9004-32-4, Carboxymethyl cellulose 9004-34-6, Cellulose, biological studies 9004-34-6D, Cellulose, derivs. 9004-35-7, Cellulose acetate 9004-38-0, Cellulose acetate phthalate 9004-48-2, Cellulose propionate 9004-53-9, Dextrin 9004-54-0, Dextran, biological studies 9004-57-3, Ethyl Cellulose 9004-58-4, Ethyl hydroxyethyl Cellulose 9004-59-5, Ethyl methyl Cellulose 9004-62-0, Hydroxyethyl Cellulose 9004-64-2, Hydroxypropyl cellulose 9004-65-3, HPMC 9004-67-5, Methyl Cellulose 9004-70-0, Cellulose nitrate 9005-25-8, Starch, biological studies 9005-32-7, Alginic acid 9005-35-0, Calcium Alginate 9005-38-3, Sodium Alginate 9005-82-7, Amylose 9014-63-5, Xylan 9032-42-2, Hydroxyethyl methyl Cellulose 9037-22-3, **Amylopectin** 10043-35-3, Boric acid, biological studies 10043-52-4, Calcium chloride, biological studies 10061-32-2, Levophenacylmorphan 10103-46-5, Calcium phosphate 10316-66-2, 2-Hydroxy-2-cyclohexenone 10343-62-1, Metaphosphoric acid 13463-67-7, Titanium oxide, biological studies 13495-09-5, Piminodine 14047-56-4 14297-87-1, Benzylmorphine 14807-96-6, Talc, biological studies 15301-48-1, Bezitramide 15686-91-6, Propiram 16068-46-5, Potassium phosphate 20290-09-9, Morphine 3-glucuronide 20290-10-2, Morphine 6-glucuronide 20594-83-6, Nalbuphine 22445-04-1 25322-68-3, Polyethylene glycol 25322-68-3D, Polyethylene glycol, esters or ethers 25384-17-2, Allylprodine 27203-92-5, Tramadol 30435-30-4 36653-82-4, Cetyl alcohol 37353-59-6, HydroxyMethyl Cellulose 42408-82-2, Butorphanol 51931-66-9, Tilidine 52485-79-7, Buprenorphine

53648-55-8, Dezocine 54340-58-8, Meptazinol 56030-54-7, Sufentanil 61380-40-3, Lofentanil 62212-91-3, Sodium Starch 69670-80-0, Hydroxymethyl propyl cellulose 71195-58-9, Alfentanil 72522-13-5, Eptazocine 74811-65-7, Croscarmellose sodium 106392-12-5, Polyethylene glycol-polypropylene glycol block copolymer 154326-36-0, Glycolic acid-lactic acid-polyethylene glycol block copolymer 443360-37-0
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(controlled release compns. containing opioids and polymers)
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L50 ANSWER 4 OF 20 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:242149 HCAPLUS
DOCUMENT NUMBER: 138:276256
TITLE: Controlled release **pharmaceutical** compositions containing polymers
INVENTOR(S): Fischer, Gina; Bar-Shalom, Daniel; Slot, Lillian; Lademann, Anne-Marie; Jensen, Christine
PATENT ASSIGNEE(S): Egalet A/S, Den.
SOURCE: PCT Int. Appl., 105 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003024429	A1	20030327	WO 2002-DK620	20020923

W: AE, AG, AL, AM, AT, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EE, EE, ES, FI, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: DK 2001-1377 A 20010921
DK 2002-1044 A 20020703

AB A method for controlling the release of at least one therapeutically, prophylactically and/or diagnostically active substance into an aqueous medium by erosion of at least one surface of a **pharmaceutical** composition The method comprises adjusting the concentration and/or the nature of the ingredients making up the matrix composition in such a manner so as to obtain an approx. zero-order release of the drug from the **pharmaceutical** composition when subject to an in vitro dissoln. test as described herein. The composition comprises a matrix composition containing a polymer or a mixture of polymers that may be substantially water soluble and/or crystalline, an active substance and, optionally, one or more **pharmaceutically** acceptable excipients, and a coating. Typical polymers are PEG. The coating comprises a first cellulose derivative which is substantially insol. in the aqueous medium, and at least one of a second cellulose derivative which is soluble or dispersible in water, a plasticizer, and a filler. The active ingredient may be carvedilol. Stable solid dispersions of active substances having

low water solubility are also disclosed. Thus, a composition contained PEG 64.6,
carvedilol 30, and citric acid 5.4% by weight
IC ICM A61K009-22
ICS A61K009-28; A61K047-00; A61K031-403
CC 63-6 (Pharmaceuticals)
Section cross-reference(s): 1, 62
IT Alcohols, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(C16-18; controlled release **pharmaceutical** compns. containing polymers)
IT Viscosity
(adjusting agents; controlled release **pharmaceutical** compns. containing polymers)
IT Heart, disease
(angina pectoris; controlled release **pharmaceutical** compns. containing polymers)
IT Molding of plastics and rubbers
(blow; controlled release **pharmaceutical** compns. containing polymers)
IT Molding of plastics and rubbers
(compression; controlled release **pharmaceutical** compns. containing polymers)
IT Antihypertensives
Antioxidants
Binders
Buffers
Cardiovascular agents
Coating materials
Deodorants (personal)
Diffusion
Disinfectants
Dissolution
Dissolution rate
Fillers
Gums and Mucilages
Human
Hypertension
Lubricants
Molasses
Molecular weight distribution
Particle size distribution
Plasticizers
Polymorphism (crystal)
Solubility
Solubilizers
Solvents
Stability
Stabilizing agents
(controlled release **pharmaceutical** compns. containing polymers)
IT Acids, biological studies
Alkali metal salts
Alkaline earth salts
Amides, biological studies
Amines, biological studies
Amino acids, biological studies
Bentonite, biological studies
Carbohydrates, biological studies
Carboxylic acids, biological studies

Clays, biological studies
Disaccharides
Ethers, biological studies
Fatty acids, biological studies
Glycerides, biological studies
Kaolin, biological studies
Monosaccharides
Oligosaccharides, biological studies
Paraffin oils
Polymers, biological studies
Polyoxyalkylenes, biological studies
Polyoxyalkylenes, biological studies
Polysaccharides, biological studies
Salts, biological studies
Smectite-group minerals
Vitamins
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(controlled release **pharmaceutical** compns. containing polymers)

IT Drug delivery systems
(controlled-release; controlled release **pharmaceutical** compns. containing polymers)
IT Carboxylic acids, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(dicarboxylic; controlled release **pharmaceutical** compns. containing polymers)
IT Polyoxyalkylenes, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(esters or ethers; controlled release **pharmaceutical** compns. containing polymers)
IT Fatty acids, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(esters; controlled release **pharmaceutical** compns. containing polymers)
IT Carrageen (Chondrus crispus)
(exts.; controlled release **pharmaceutical** compns. containing polymers)
IT Heart, disease
(failure; controlled release **pharmaceutical** compns. containing polymers)
IT Alcohols, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(fatty; controlled release **pharmaceutical** compns. containing polymers)
IT Plantago psyllium
(husk exts. (Isagbol); controlled release **pharmaceutical** compns. containing polymers)
IT Molding of plastics and rubbers
(**injection**; controlled release **pharmaceutical** compns. containing polymers)
IT Bases, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(inorg.; controlled release **pharmaceutical** compns. containing polymers)
IT Surfactants
(nonionic; controlled release **pharmaceutical** compns. containing polymers)
IT Carboxylic acids, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(oligo-; controlled release **pharmaceutical** compns. containing

polymers)
IT Acids, biological studies
Bases, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(organic; controlled release **pharmaceutical** compns. containing polymers)
IT Gums and Mucilages
(panwar; controlled release **pharmaceutical** compns. containing polymers)
IT Carboxylic acids, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(polycarboxylic; controlled release **pharmaceutical** compns. containing polymers)
IT Polyoxyalkylenes, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(polyester-, block; controlled release **pharmaceutical** compns. containing polymers)
IT Polyoxyalkylenes, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(polyester-, graft; controlled release **pharmaceutical** compns. containing polymers)
IT Polyesters, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(polyoxyalkylene-, block; controlled release **pharmaceutical** compns. containing polymers)
IT Polyesters, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(polyoxyalkylene-, graft; controlled release **pharmaceutical** compns. containing polymers)
IT Humidity
(relative; controlled release **pharmaceutical** compns. containing polymers)
IT Drug delivery systems
(solid dispersions; controlled release **pharmaceutical** compns. containing polymers)
IT Carbohydrates, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(sugar esters; controlled release **pharmaceutical** compns. containing polymers)
IT Diet
(supplements; controlled release **pharmaceutical** compns. containing polymers)
IT Drug delivery systems
(tablets, controlled-release; controlled release **pharmaceutical** compns. containing polymers)
IT Fats and Glyceridic oils, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(vegetable, hydrogenated; controlled release **pharmaceutical** compns. containing polymers)
IT Fats and Glyceridic oils, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(vegetable; controlled release **pharmaceutical** compns. containing polymers)
IT 72956-09-3, Carvedilol
RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(controlled release **pharmaceutical** compns. containing polymers)
IT 50-21-5, Lactic acid, biological studies 50-69-1, Ribose 50-70-4, Sorbitol, biological studies 50-81-7, Vitamin C, biological studies

50-99-7, Glucose, biological studies 56-84-8, Aspartic acid, biological studies 56-86-0, Glutamic acid, biological studies 57-03-4, Glycerophosphoric acid 57-11-4, Stearic acid, biological studies 57-48-7, Fructose, biological studies 57-50-1, Sucrose, biological studies 58-86-6, Xylose, biological studies 59-23-4, Galactose, biological studies 62-53-3, Aniline, biological studies 62-54-4, Calcium acetate 63-42-3, Lactose 64-18-6, Formic acid, biological studies 64-19-7, Acetic acid, biological studies 64-31-3, Morphine sulfate 65-42-9, Lyxose 65-85-0, Benzoic acid, biological studies 69-65-8, Mannitol 75-15-0, Carbon disulfide, biological studies 77-86-1, Tris(hydroxymethyl)aminomethane 77-92-9, Citric acid, biological studies 79-10-7, Acrylic acid, biological studies 79-14-1, Glycolic acid, biological studies 87-69-4, Tartaric acid, biological studies 87-89-8, Inositol 88-99-3D, Phthalic acid, esters 90-64-2, Mandelic acid 98-10-2, Benzenesulfonamide 98-95-3, Nitrobenzene, biological studies 100-02-7, p-Nitrophenol, biological studies 109-97-7, Pyrrole 110-15-6, Succinic acid, biological studies 110-16-7, Maleic acid, biological studies 110-17-8, Fumaric acid, biological studies 110-94-1, Glutaric acid 111-16-0, Pimelic acid 111-42-2, Diethanolamine, biological studies 112-72-1, Myristyl alcohol 112-92-5, Stearyl alcohol 117-81-7, Dioctyl phthalate 123-56-8, Succinimide 123-76-2, Levulinic acid 124-04-9, Adipic acid, biological studies 127-08-2, Potassium acetate 127-09-3, Sodium acetate 127-17-3, Pyruvic acid, biological studies 140-99-8, Calcium succinate 141-82-2, Malonic acid, biological studies 143-28-2, Oleyl alcohol 144-55-8, Sodium hydrogen carbonate, biological studies 144-62-7, Oxalic acid, biological studies 147-81-9, Arabinose 149-91-7, Gallic acid, biological studies 150-90-3, Sodium succinate 288-32-4, Imidazole, biological studies 298-12-4, Glyoxylic acid 298-14-6 302-01-2, Hydrazine, biological studies 463-77-4, Carbamic acid, biological studies 471-34-1, Calcium carbonate, biological studies 471-47-6, Oxamic acid 473-81-4, Glyceric acid 490-79-9, Gentisic acid 497-19-8, Sodium carbonate, biological studies 506-87-6, Ammonium carbonate 546-93-0, Magnesium carbonate 557-04-0 565-63-9, Angelic acid 584-08-7, Potassium carbonate 593-67-9, Ethylenamine 597-44-4, Citramalic acid 613-78-5, β-Naphthyl salicylate 621-82-9, Cinnamic acid, biological studies 676-47-1 868-18-8, Sodium tartrate 921-53-9, Potassium tartrate 994-36-5, Sodium citrate 1310-58-3, Potassium hydroxide (K(OH)), biological studies 1310-73-2, Sodium hydroxide, biological studies 1336-21-6, Ammonium hydroxide 1344-28-1, Aluminum oxide, biological studies 1724-02-3, Glutaconic acid 2152-76-3, Idose 2466-09-3, Pyrophosphoric acid 3164-34-9, Calcium tartrate 3458-28-4, Mannose 4468-02-4, Zinc gluconate 5987-68-8, Altrose 6038-51-3, Allose 6915-15-7, Malic acid 7429-90-5D, Aluminum, compds. 7447-40-7, Potassium chloride, biological studies 7558-79-4, DiSodium hydrogen phosphate 7558-80-7, Sodium dihydrogen phosphate 7601-54-9, TriSodium phosphate 7631-86-9, Silica, biological studies 7632-05-5, Sodium phosphate 7647-01-0, Hydrochloric acid, biological studies 7647-14-5, Sodium chloride, biological studies 7664-38-2, Orthophosphoric acid, biological studies 7664-38-2D, Phosphoric acid, esters 7664-93-9, Sulfuric acid, biological studies 7693-13-2, Calcium citrate 7733-02-0, Zinc sulfate 7757-82-6, Sodium sulfate, biological studies 7757-93-9, DiCalcium phosphate 7758-11-4 7778-18-9, Calcium sulfate 7778-49-6, Potassium citrate 7778-53-2, TriPotassium phosphate 7778-77-0, Potassium dihydrogen phosphate 7778-80-5, Potassium sulfate, biological studies 7786-30-3, Magnesium chloride, biological studies 7803-49-8, Hydroxylamine, biological studies 9000-01-5, Acacia gum 9000-28-6, Ghatti gum 9000-69-5, Pectin 9004-32-4, Carboxymethyl cellulose 9004-32-4D, Carboxymethyl

cellulose, crosslinked 9004-34-6, Cellulose, biological studies 9004-34-6D, Cellulose, derivs. 9004-35-7, Cellulose acetate 9004-38-0, Cellulose acetate phthalate 9004-48-2, Cellulose propionate 9004-53-9, Dextrin 9004-54-0, Dextran, biological studies 9004-57-3, Ethyl cellulose 9004-58-4, Ethyl hydroxyethyl cellulose 9004-59-5, Ethyl methyl cellulose 9004-62-0, Hydroxyethyl cellulose 9004-64-2, Hydroxypropyl cellulose 9004-65-3, HPMC 9004-67-5, Methyl cellulose 9004-70-0, Cellulose nitrate 9004-99-3, Polyethylene glycol monostearate **9005-25-8**, Starch, biological studies 9005-32-7, Alginic acid 9005-35-0, Calcium Alginate 9005-38-3, Sodium Alginate 9005-82-7, Amylose 9014-63-5, Xylan 9032-42-2, Hydroxyethyl methyl cellulose **9037-22-3**, **Amylopectin** 10043-35-3, Boric acid, biological studies 10043-52-4, Calcium chloride, biological studies 10103-46-5, Calcium phosphate 10316-66-2, 2-Hydroxy-2-cyclohexenone 10343-62-1, Metaphosphoric acid 13463-67-7, Titanium oxide, biological studies 14807-96-6, Talc, biological studies 16068-46-5, Potassium phosphate 18859-54-6 19163-87-2, Gulose 21645-51-2, Aluminum oxide trihydrate, biological studies 25322-68-3, Polyethylene glycol 25322-68-3D, Polyethylene glycol, esters or ethers 30077-17-9, Talose 30435-30-4 36653-82-4, Cetyl alcohol 37353-59-6, Hydroxymethyl cellulose 62212-91-3, Sodium Starch 69670-80-0, Hydroxymethyl propyl cellulose 74811-65-7, Croscarmellose sodium 106392-12-5, Polyethylene glycol-polypropylene glycol block copolymer 154326-36-0, Glycolic acid-lactic acid-polyethylene glycol block copolymer 443360-37-0
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(controlled release **pharmaceutical** compns. containing polymers)
REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L50 ANSWER 5 OF 20 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:242148 HCAPLUS
DOCUMENT NUMBER: 138:276255
TITLE: Controlled release solid dispersions containing carvedilol
INVENTOR(S): Fischer, Gina; Bar-Shalom, Daniel; Slot, Lillian; Lademann, Anne-Marie; Jensen, Christine
PATENT ASSIGNEE(S): Egalet A/S, Den.
SOURCE: PCT Int. Appl., 110 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003024426	A1	20030327	WO 2002-DK621	20020923

W: AE, AG, AL, AM, AT, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EE, EE, ES, FI, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: DK 2001-1375 A 20010921

DK 2001-1611 A 20011031
DK 2002-1044 A 20020703

AB A controlled release **pharmaceutical** composition for oral use comprises a solid dispersion of at least one therapeutical agent and/or diagnostic substance, which at least partially is in an amorphous form, a polymer that has plasticizing properties, and optionally, a stabilizing agent, the at least one active substance having a limited water solubility, and the composition being designed to release the active substance with a substantially zero order release. The polymer is typically a polyethylene glycol and/or polyethylene oxide having a mol. weight of at least about 20,000 in crystalline and/or amorphous form or a mixture of such polymers, and the active substance is typically carvedilol. The composition may comprise a coated matrix, the coating comprising a first cellulose derivative which is substantially insol. in the aqueous medium, and at least one of a second cellulose derivative which is soluble or dispersible in water, a plasticizer, and

a filler. Thus, a composition contained PEG 64.6, carvedilol 30, and citric acid 5.4% by weight The dissoln. profile corresponded to a zero-order release of carvedilol from the composition

IC ICM A61K009-16
ICS A61K009-22; A61K009-28; A61K031-403

CC 63-6 (Pharmaceuticals)
Section cross-reference(s): 1, 62

IT Molding of plastics and rubbers
(**injection**; controlled release solid dispersions containing carvedilol)

IT 50-21-5, Lactic acid, biological studies 50-69-1, Ribose 50-70-4, Sorbitol, biological studies 50-81-7, Vitamin C, biological studies 50-99-7, Glucose, biological studies 56-84-8, Aspartic acid, biological studies 56-86-0, Glutamic acid, biological studies 57-03-4, Glycerophosphoric acid 57-11-4, Stearic acid, biological studies 57-48-7, Fructose, biological studies 57-50-1, Sucrose, biological studies 58-86-6, Xylose, biological studies 59-23-4, Galactose, biological studies 62-53-3, Aniline, biological studies 62-54-4, Calcium acetate 63-42-3, Lactose 64-18-6, Formic acid, biological studies 64-19-7, Acetic acid, biological studies 65-42-9, Lyxose 65-85-0, Benzoic acid, biological studies 69-65-8, Mannitol 75-15-0, Carbon disulfide, biological studies 77-86-1, Tris(hydroxymethyl)aminomethane 77-92-9, Citric acid, biological studies 79-10-7, Acrylic acid, biological studies 79-14-1, Glycolic acid, biological studies 87-69-4, Tartaric acid, biological studies 87-89-8, Inositol 88-99-3D, Phthalic acid, esters 90-64-2, Mandelic acid 98-10-2, Benzenesulfonamide 98-95-3, Nitrobenzene, biological studies 100-02-7, p-Nitrophenol, biological studies 109-97-7, Pyrrole 110-15-6, Succinic acid, biological studies 110-16-7, Maleic acid, biological studies 110-17-8, Fumaric acid, biological studies 110-94-1, Glutaric acid 111-16-0, Pimelic acid 111-42-2, Diethanolamine, biological studies 112-72-1, Myristyl alcohol 112-92-5, Stearyl alcohol 117-81-7, Dioctyl phthalate 123-56-8, Succinimide 123-76-2, Levulinic acid 124-04-9, Adipic acid, biological studies 127-08-2, Potassium acetate 127-09-3, Sodium acetate 127-17-3, Pyruvic acid, biological studies 140-99-8, Calcium succinate 141-82-2, Malonic acid, biological studies 143-28-2, Oleyl alcohol 144-55-8, Sodium hydrogen carbonate, biological studies 144-62-7, Oxalic acid, biological studies 147-81-9, Arabinose 149-91-7, Gallic acid, biological studies 150-90-3, Sodium succinate 288-32-4, Imidazole, biological studies 298-12-4, Glyoxylic acid 298-14-6 302-01-2, Hydrazine, biological studies 463-77-4, Carbamic acid, biological studies 471-34-1, Calcium carbonate, biological studies 471-47-6,

Oxamic acid 473-81-4, Glyceric acid 490-79-9, Gentisic acid 497-19-8, Sodium carbonate, biological studies 506-87-6, Ammonium carbonate 546-93-0, Magnesium carbonate 557-04-0 565-63-9, Angelic acid 584-08-7, Potassium carbonate 593-67-9, Ethylenamine 597-44-4, Citramalic acid 613-78-5, β-Naphthyl salicylate 621-82-9, Cinnamic acid, biological studies 676-47-1 868-18-8, Sodium tartrate 921-53-9, Potassium tartrate 994-36-5, Sodium citrate 1310-58-3, Potassium hydroxide (K(OH)), biological studies 1310-73-2, Sodium hydroxide, biological studies 1336-21-6, Ammonium hydroxide 1344-28-1, Aluminum oxide, biological studies 1724-02-3, Glutaconic acid 2152-76-3, Idose 2466-09-3, Pyrophosphoric acid 3164-34-9, Calcium tartrate 3458-28-4, Mannose 4468-02-4, Zinc gluconate 5987-68-8, Altrose 6038-51-3, Allose 6915-15-7, Malic acid 7429-90-5D, Aluminum, compds. 7447-40-7, Potassium chloride (KCl), biological studies 7558-79-4, DiSodium hydrogen phosphate 7558-80-7, Sodium dihydrogen phosphate 7601-54-9, TriSodium phosphate 7631-86-9, Silica, biological studies 7632-05-5, Sodium phosphate 7647-01-0, Hydrochloric acid, biological studies 7647-14-5, Sodium chloride, biological studies 7664-38-2, Orthophosphoric acid, biological studies 7664-38-2D, Phosphoric acid, esters 7664-93-9, Sulfuric acid, biological studies 7693-13-2, Calcium citrate 7733-02-0, Zinc sulfate 7757-82-6, Sodium sulfate, biological studies 7757-93-9, DiCalcium phosphate 7758-11-4 7778-18-9, Calcium sulfate 7778-49-6, Potassium citrate 7778-53-2, TriPotassium phosphate 7778-77-0, Potassium dihydrogen phosphate 7778-80-5, Potassium sulfate, biological studies 7786-30-3, Magnesium chloride, biological studies 7803-49-8, Hydroxylamine, biological studies 9000-01-5, Acacia gum 9000-28-6, Ghatti gum 9000-69-5, Pectin 9003-11-6 9004-32-4, Carboxymethyl cellulose 9004-34-6, Cellulose, biological studies 9004-34-6D, Cellulose, derivs. 9004-35-7, Cellulose acetate 9004-38-0, Cellulose acetate phthalate 9004-48-2, Cellulose propionate 9004-53-9, Dextrin 9004-54-0, Dextran, biological studies 9004-57-3, Ethyl cellulose 9004-58-4, Ethyl hydroxyethyl cellulose 9004-59-5, Ethyl methyl cellulose 9004-62-0, Hydroxyethyl cellulose 9004-64-2, Hydroxypropyl cellulose 9004-65-3, HPMC 9004-67-5, Methyl cellulose 9004-70-0, Cellulose nitrate 9004-99-3, Polyethylene glycol monostearate 9005-25-8, Starch, biological studies 9005-32-7, Alginic acid 9005-35-0, Calcium Alginate 9005-38-3, Sodium Alginate 9005-82-7, Amylose 9014-63-5, Xylan 9032-42-2, Hydroxyethyl methyl cellulose 9037-22-3, **Amylopectin** 10043-35-3, Boric acid, biological studies 10043-52-4, Calcium chloride, biological studies 10103-46-5, Calcium phosphate 10316-66-2, 2-Hydroxy-2-cyclohexenone 10343-62-1, Metaphosphoric acid 13463-67-7, Titanium oxide, biological studies 14807-96-6, Talc, biological studies 16068-46-5, Potassium phosphate 18859-54-6 19163-87-2, Gulose 21645-51-2, Aluminum oxide trihydrate, biological studies 25322-68-3, Polyethylene glycol 25322-68-3D, Polyethylene glycol, esters or ethers 30077-17-9, Talose 30435-30-4 36653-82-4, Cetyl alcohol 37353-59-6, Hydroxymethyl cellulose 62212-91-3, Sodium Starch 69670-80-0, Hydroxymethyl propyl cellulose 72956-44-6, DesmethylCarvedilol 74811-65-7, Croscarmellose sodium 95093-99-5 95094-00-1 106392-12-5, Polyethylene glycol-polypropylene glycol block copolymer 154326-36-0, Glycolic acid-lactic acid-polyethylene glycol block copolymer 443360-37-0
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(controlled release solid dispersions containing carvedilol)

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L50 ANSWER 6 OF 20 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2002:675881 HCAPLUS
DOCUMENT NUMBER: 137:222038
TITLE: Carrier systems comprising vitamin B12-biodegradable **microparticulate** conjugates for peroral delivery of drugs, peptides/proteins and vaccines
INVENTOR(S): Chalasani, Kishore Babu; Diwan, Prakash Vamanrao; Raghavan, Kondapuram Vijaya; Russell-Jones, Gregory John; Jain, Sanjain Kumar; Rao, Kollipara Koteshawa
PATENT ASSIGNEE(S): Council of Scientific and Industrial Research, India
SOURCE: PCT Int. Appl., 47 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002067995	A1	20020906	WO 2001-IN27	20010226
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
GB 2374010	A1	20021009	GB 2002-7457	20010226
EP 1363672	A1	20031126	EP 2001-915652	20010226
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 6482413	B1	20021119	US 2001-795979	20010301
US 2002192235	A1	20021219		

PRIORITY APPLN. INFO.: WO 2001-IN27 A 20010226

AB The invention relates to a novel complex for oral delivery of drugs, therapeutic protein / peptides and vaccines which are loaded in a vitamin B12 (VB12) coupled particulate carrier system with spacers in between, the carrier system with spacers having a formula VB12-R1/R2-N wherein, R1 or R2 is spacer and/or agents for derivatization of VB12 to provide either NH2 or COOH or SH groups, and N is the **micro**- or nano-**particle** carriers for the delivery of injectable drugs, therapeutic protein/peptides and vaccines. A number of VB12 derivs. were prepared and conjugated to modified polysaccharide derivs. such as starch, chitosan, dextran, or guar gum.

IC ICM A61K047-48
ICS A61K009-16; A61K009-51
CC 63-6 (Pharmaceuticals)
Section cross-reference(s): 26
IT Polymers, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(biodegradable; carrier systems comprising vitamin B12-biodegradable **microparticulate** conjugates for peroral delivery of drugs, peptides/proteins and vaccines)
IT Drug delivery systems
(capsules; carrier systems comprising vitamin B12-biodegradable **microparticulate** conjugates for peroral delivery of drugs, peptides/proteins and vaccines)
IT Cholera

Vaccines
(carrier systems comprising vitamin B12-biodegradable **microparticulate** conjugates for peroral delivery of drugs, peptides/proteins and vaccines)

IT Polysaccharides, biological studies
RL: RCT (Reactant); THU (Therapeutic use); BIOL (Biological study); RACT (Reactant or reagent); USES (Uses)
(carrier systems comprising vitamin B12-biodegradable **microparticulate** conjugates for peroral delivery of drugs, peptides/proteins and vaccines)

IT Interferons
Intrinsic factors
Peptides, biological studies
Polyanhydrides
Polyesters, biological studies
Proteins
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(carrier systems comprising vitamin B12-biodegradable **microparticulate** conjugates for peroral delivery of drugs, peptides/proteins and vaccines)

IT Drug delivery systems
(carriers; carrier systems comprising vitamin B12-biodegradable **microparticulate** conjugates for peroral delivery of drugs, peptides/proteins and vaccines)

IT Drug delivery systems
(controlled-release; carrier systems comprising vitamin B12-biodegradable **microparticulate** conjugates for peroral delivery of drugs, peptides/proteins and vaccines)

IT Drug delivery systems
(gels; carrier systems comprising vitamin B12-biodegradable **microparticulate** conjugates for peroral delivery of drugs, peptides/proteins and vaccines)

IT Antigens
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(hepatitis B surface; carrier systems comprising vitamin B12-biodegradable **microparticulate** conjugates for peroral delivery of drugs, peptides/proteins and vaccines)

IT Drug delivery systems
(oral; carrier systems comprising vitamin B12-biodegradable **microparticulate** conjugates for peroral delivery of drugs, peptides/proteins and vaccines)

IT Drug delivery systems
(pastes; carrier systems comprising vitamin B12-biodegradable **microparticulate** conjugates for peroral delivery of drugs, peptides/proteins and vaccines)

IT Drug delivery systems
(tablets; carrier systems comprising vitamin B12-biodegradable **microparticulate** conjugates for peroral delivery of drugs, peptides/proteins and vaccines)

IT Vaccines
(typhoid fever; carrier systems comprising vitamin B12-biodegradable **microparticulate** conjugates for peroral delivery of drugs, peptides/proteins and vaccines)

IT 11096-26-7, EPO
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(EPO; carrier systems comprising vitamin B12-biodegradable **microparticulate** conjugates for peroral delivery of drugs, peptides/proteins and vaccines)

IT 100-20-9, Terephthaloyl chloride 106-89-8, Epichlorohydrin, reactions

111-30-8, Glutaraldehyde 530-62-1, 1,1'-Carbonyldiimidazole 693-13-0, N,N'-Diisopropylcarbodiimide 1303-96-4, Borax 1892-57-5, Edac 6066-82-6, N-Hydroxysuccinimide 10025-87-3, Phosphorus oxychloride 68181-17-9, Spdp 68528-80-3, Disuccinimidyl suberate 70539-42-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(carrier systems comprising vitamin B12-biodegradable **microparticulate** conjugates for peroral delivery of drugs, peptides/proteins and vaccines)

IT 68-19-9P, Vitamin B12 9004-54-0DP, Dextran, conjugates with vitamin B12 derivs. **9005-25-8DP**, Starch, conjugates with vitamin B12 derivs. 26264-28-8P 66786-09-2P 160158-24-7P 160158-25-8P 160158-28-1P 160177-87-7P 160927-56-0P 160927-59-3P 160927-60-6P 160935-25-1P 164728-08-9P 164728-09-0P 164728-10-3P 164728-11-4P 455255-25-1P 455255-26-2P 455255-28-4P 455255-34-2P 455255-36-4P
RL: RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(**carrier** systems comprising vitamin B12-biodegradable **microparticulate** conjugates for peroral delivery of drugs, **peptides/proteins** and vaccines)

IT 9000-69-5, Pectin 9005-82-7, Amylose 9007-28-7, Chondroitin sulfate
RL: RCT (Reactant); THU (Therapeutic use); BIOL (Biological study); RACT (Reactant or reagent); USES (Uses)
(carrier systems comprising vitamin B12-biodegradable **microparticulate** conjugates for peroral delivery of drugs, peptides/proteins and vaccines)

IT 9000-30-0DP, Guar gum, conjugates with vitamin B12 derivs. 9012-76-4DP, Chitosan, conjugates with vitamin B12 derivs.
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(carrier systems comprising vitamin B12-biodegradable **microparticulate** conjugates for peroral delivery of drugs, peptides/proteins and vaccines)

IT 1403-66-3, Gentamycin 9001-27-8, Factor VIII 9003-16-1, Poly(fumaric acid) 9004-10-8, Insulin, biological studies 9005-49-6, Heparin, biological studies 9011-14-7, Pmma 9034-40-6D, LHRH, analogs 13422-51-0, Hydroxycobalamin 13422-52-1, Aquocobalamin 13422-55-4, Methylcobalamin 13870-90-1, Adenosylcobalamin 26780-50-7, Glycolide-lactide copolymer 37517-28-5, Amikacin 52352-27-9, Poly(hydroxybutyric acid) 83869-56-1, GM-CSF 117381-39-2, Fumaric acid-sebacic acid copolymer 143011-72-7, G-CSF
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(carrier systems comprising vitamin B12-biodegradable **microparticulate** conjugates for peroral delivery of drugs, peptides/proteins and vaccines)

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L50 ANSWER 7 OF 20 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:276035 HCAPLUS
DOCUMENT NUMBER: 136:296466
TITLE: Forming purified starch and **microparticles** with controlled release of a biologically active substance
INVENTOR(S): Gustafsson, Nils Ove; Berden, Per; Joensson, Monica; Laakso, Timo; Reslow, Mats
PATENT ASSIGNEE(S): Bioglan AB, Swed.
SOURCE: PCT Int. Appl., 42 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002028909	A1	20020411	WO 2001-SE2168	20011005
W: AE, AG, AL, AM, AT, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EE, EE, ES, FI, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
SE 2000003616	A	20020407	SE 2000-3616	20001006
SE 517422	C2	20020604		
AU 2001094460	A5	20020415	AU 2001-94460	20011005
US 2002045745	A1	20020418	US 2001-970648	20011005
US 6689389	B2	20040210		
US 2002065411	A1	20020530	US 2001-970795	20011005
US 6616948	B2	20030909		
EP 1325035	A1	20030709	EP 2001-975101	20011005
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004510846	T2	20040408	JP 2002-532491	20011005
US 2003206961	A1	20031106	US 2003-461393	20030616
US 2004019014	A1	20040129	US 2003-627920	20030728

PRIORITY APPLN. INFO.:		
SE 2000-3616	A	20001006
US 2001-260491P	P	20010108
US 2001-970648	A3	20011005
US 2001-970795	A3	20011005
WO 2001-SE2168	W	20011005

AB Production of purified, **parenterally** administrable starch by washing starch containing >85% **amylopectin** to remove surface-localized proteins, lipids and endotoxins, subjecting the starch to a mol. weight reduction by acid hydrolysis, and optionally removing residual water-soluble proteins.

IC ICM C08B030-12
ICS C08B030-20; A61K047-36; A61K009-16; A61K009-50

CC 44-6 (Industrial Carbohydrates)

ST starch purifn acid hydrolysis **microparticle** controlled release; **pharmaceutical** pure starch manuf

IT Toxins
RL: REM (Removal or disposal); PROC (Process)
(endotoxins; purified starch and **microparticles** with controlled release of a biol. active substance)

IT **Anion exchange**
(of starch purified of surface-localized proteins)

IT **Microparticles**
(purified starch and **microparticles** with controlled release of a biol. active substance)

IT Lipids, processes
Proteins
RL: REM (Removal or disposal); PROC (Process)
(purified starch and **microparticles** with controlled release

of a biol. active substance)
IT **9005-25-8P**, Starch, preparation
RL: **PUR (Purification or recovery)**; PREP (Preparation)
(from Cerestar C Gel 06090; purified starch and **microparticles** with controlled release of a biol. active substance)
IT 57-55-6, Propylene glycol, uses 64-17-5, Ethanol, uses 67-63-0, Isopropanol, uses 67-64-1, Acetone, uses 107-21-1, Ethylene glycol, uses 1310-73-2, Sodium hydroxide, uses
RL: NUU (Other use, unclassified); USES (Uses)
(**pharmaceutically** acceptable starch protein removal by)
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L50 ANSWER 8 OF 20 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:276034 HCAPLUS
DOCUMENT NUMBER: 136:296465
TITLE: **Pharmaceutically** acceptable starch
INVENTOR(S): Gustavsson, Nils Ove; Berden, Per; Joensson, Monica; Laakso, Timo; Reslow, Mats
PATENT ASSIGNEE(S): Bioglan AB, Swed.
SOURCE: PCT Int. Appl., 43 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002028908	A1	20020411	WO 2001-SE2163	20011005
W: AE, AG, AL, AM, AT, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EE, EE, ES, FI, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
SE 2000003616	A	20020407	SE 2000-3616	20001006
SE 517422	C2	20020604		
AU 2001094457	A5	20020415	AU 2001-94457	20011005
US 2002045745	A1	20020418	US 2001-970648	20011005
US 6689389	B2	20040210		
US 2002065411	A1	20020530	US 2001-970795	20011005
US 6616948	B2	20030909		
EP 1325034	A1	20030709	EP 2001-975098	20011005
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004510845	T2	20040408	JP 2002-532490	20011005
US 2003206961	A1	20031106	US 2003-461393	20030616
US 2004019014	A1	20040129	US 2003-627920	20030728

PRIORITY APPLN. INFO.:
SE 2000-3616 A 20001006
US 2001-260491P P 20010108
US 2001-970648 A3 20011005
US 2001-970795 A3 20011005
WO 2001-SE2163 W 20011005

AB Production of purified, **parenterally** administrable starch is

accomplished by washing starch containing more than 85% **amylopectin** in order to remove surface-localized proteins, lipids and endotoxins, dissolving the starch in aqueous medium, mol. weight reduction by shearing, and optionally removal of residual water-soluble proteins, preferably by **anion exchange** chromatog.

IC ICM C08B030-12
ICS C08B030-20; A61K047-36; A61K009-16; A61K009-50

CC 44-6 (Industrial Carbohydrates)
Section cross-reference(s): 63

ST **pharmaceutical** pure starch manuf; purifn starch **anion exchange**; endotoxin removal starch; lipid removal starch; protein removal starch

IT Toxins
RL: REM (Removal or disposal); PROC (Process)
(endotoxins; manufacture of **pharmaceutically** acceptable starch)

IT **Anion exchange**
Pharmaceutical industry
(manufacture of **pharmaceutically** acceptable starch)

IT Lipids, processes
Proteins
RL: REM (Removal or disposal); PROC (Process)
(manufacture of **pharmaceutically** acceptable starch)

IT **9005-25-8P**, Starch, preparation
RL: **PUR (Purification or recovery)**; PREP (Preparation)
(Cerestar C*Gel 06090; manufacture of **pharmaceutically** acceptable starch)

IT 57-55-6, Propylene glycol, uses 64-17-5, Ethanol, uses 67-63-0, Isopropanol, uses 67-64-1, Acetone, uses 107-21-1, Ethylene glycol, uses 1310-73-2, Sodium hydroxide, uses
RL: NUU (Other use, unclassified); USES (Uses)
(manufacture of **pharmaceutically** acceptable starch)

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L50 ANSWER 9 OF 20 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:275771 HCAPLUS
DOCUMENT NUMBER: 136:299676
TITLE: **Vaccine** composition comprising an **immunologically** active substance embedded in **microparticles** consisting of starch with reduced molecular weight
INVENTOR(S): Joensson, Monica; Larsson, Karin; Gustafsson, Nils Ove; Laakso, Timo; Reslow, Mats
PATENT ASSIGNEE(S): Bioglan AB, Swed.
SOURCE: PCT Int. Appl., 61 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002028371	A1	20020411	WO 2001-SE2169	20011005

W: AE, AG, AL, AM, AT, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EE, EE, ES, FI, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SK, SL,

TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY,
KG, KZ, MD, RU
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

SE 2000003615	A	20020407	SE 2000-3615	20001006
SE 517421	C2	20020604		
AU 2001092529	A5	20020415	AU 2001-92529	20011005
US 2002044976	A1	20020418	US 2001-970793	20011005
US 6706288	B2	20040316		
EP 1322290	A1	20030702	EP 2001-972895	20011005
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004510724	T2	20040408	JP 2002-531997	20011005
US 2002098203	A1	20020725	US 2002-970794	20020110
US 2003211167	A1	20031113	US 2003-461445	20030616
US 6692770	B2	20040217		

PRIORITY APPLN. INFO.:
SE 2000-3615 A 20001006
US 2001-260455P P 20010108
US 2001-970793 A3 20011005
WO 2001-SE2169 W 20011005

AB A **vaccine** composition is disclosed which comprises an **immunol**. active substance embedded in **microparticles** essentially consisting of starch having an amylopectin content exceeding 85 % by weight, of which at least 80 % by weight has an average mol. weight within the range of 10-10,000 kDa. A process for preparing such **vaccine** composition is also disclosed.

IC ICM A61K009-16
ICS A61K009-50

CC 63-3 (Pharmaceuticals)
Section cross-reference(s): 15

ST **vaccine** antigen embedding **microparticle** starch mol wt

IT Glutamate receptors
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(NMDA-binding, **vaccines**; **vaccine** composition comprising an **immunol**. active substance embedded in **microparticles** consisting of starch with reduced mol. weight)

IT **Immunostimulants**
(adjuvants; **vaccine** composition comprising an **immunol**. active substance embedded in **microparticles** consisting of starch with reduced mol. weight)

IT Sterilization and Disinfection
(autoclaving; **vaccine** composition comprising an **immunol**. active substance embedded in **microparticles** consisting of starch with reduced mol. weight)

IT Polymers, biological studies
RL: PEP (Physical, engineering or chemical process); POF (Polymer in formulation); PYP (Physical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(biodegradable; **vaccine** composition comprising an **immunol**. active substance embedded in **microparticles** consisting of starch with reduced mol. weight)

IT **Drug** delivery systems
(emulsions; **vaccine** composition comprising an **immunol**. active substance embedded in **microparticles** consisting of starch with reduced mol. weight)

IT Toxins
RL: REM (Removal or disposal); PROC (Process)
(endotoxins; **vaccine** composition comprising an **immunol**.

active substance embedded in **microparticles** consisting of starch with reduced mol. weight)

IT **Drug** delivery systems
(**injections**, i.m.; **vaccine** composition comprising an **immunol**. active substance embedded in **microparticles** consisting of starch with reduced mol. weight)

IT **Drug** delivery systems
(**injections**, s.c.; **vaccine** composition comprising an **immunol**. active substance embedded in **microparticles** consisting of starch with reduced mol. weight)

IT Encapsulation
(**microencapsulation**; **vaccine** composition comprising an **immunol**. active substance embedded in **microparticles** consisting of starch with reduced mol. weight)

IT **Drug** delivery systems
(**microparticles**; **vaccine** composition comprising an **immunol**. active substance embedded in **microparticles** consisting of starch with reduced mol. weight)

IT **Drug** delivery systems
(**microspheres**; **vaccine** composition comprising an **immunol**. active substance embedded in **microparticles** consisting of starch with reduced mol. weight)

IT **Drug** delivery systems
(oral, controlled-release; **vaccine** composition comprising an **immunol**. active substance embedded in **microparticles** consisting of starch with reduced mol. weight)

IT Drying
(spray; **vaccine** composition comprising an **immunol**. active substance embedded in **microparticles** consisting of starch with reduced mol. weight)

IT Antigens
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(tumor-specific antigens, **vaccines**; **vaccine** composition comprising an **immunol**. active substance embedded in **microparticles** consisting of starch with reduced mol. weight)

IT **Vaccines**
(tumor; **vaccine** composition comprising an **immunol**. active substance embedded in **microparticles** consisting of starch with reduced mol. weight)

IT **Anion exchange** chromatography
Drying
Filtration
Freeze drying
Human
Immunization
Immunostimulants
Ion exchange chromatography
Mammalia
Mixing
Molecular weight distribution
Preparative chromatography
Vaccines
Washing
(**vaccine** composition comprising an **immunol**. active substance embedded in **microparticles** consisting of starch with reduced mol. weight)

IT Polyoxyalkylenes, biological studies
RL: PEP (Physical, engineering or chemical process); POF (Polymer in formulation); PYP (Physical process); THU (Therapeutic use); BIOL

(Biological study); PROC (Process); USES (Uses)
(**vaccine** composition comprising an **immunol**. active substance embedded in **microparticles** consisting of starch with reduced mol. weight)

IT Lipids, processes
Proteins
RL: REM (Removal or disposal); PROC (Process)
(**vaccine** composition comprising an **immunol**. active substance embedded in **microparticles** consisting of starch with reduced mol. weight)

IT Alums
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(**vaccine** composition comprising an **immunol**. active substance embedded in **microparticles** consisting of starch with reduced mol. weight)

IT Antigens
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(**vaccine** composition comprising an **immunol**. active substance embedded in **microparticles** consisting of starch with reduced mol. weight)

IT Cytokines
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(**vaccine** composition comprising an **immunol**. active substance embedded in **microparticles** consisting of starch with reduced mol. weight)

IT Lipid A
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(**vaccine** composition comprising an **immunol**. active substance embedded in **microparticles** consisting of starch with reduced mol. weight)

IT Oligonucleotides
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(**vaccine** composition comprising an **immunol**. active substance embedded in **microparticles** consisting of starch with reduced mol. weight)

IT Saponins
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(**vaccine** composition comprising an **immunol**. active substance embedded in **microparticles** consisting of starch with reduced mol. weight)

IT Antitumor agents
(**vaccines**; **vaccine** composition comprising an **immunol**. active substance embedded in **microparticles** consisting of starch with reduced mol. weight)

IT DNA
Peptides, biological studies
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(**vaccines**; **vaccine** composition comprising an **immunol**. active substance embedded in **microparticles** consisting of starch with reduced mol. weight)

IT 9000-90-2, α-Amylase 9032-08-0, Amyloglucosidase
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(**vaccine** composition comprising an **immunol**. active substance embedded in **microparticles** consisting of starch with reduced mol. weight)

IT 9005-27-0, Hydroxyethyl starch
RL: BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
(**vaccine** composition comprising an **immunol**. active

substance embedded in **microparticles** consisting of starch with reduced mol. weight)

IT 25322-68-3, Polyethylene glycol
RL: PEP (Physical, engineering or chemical process); POF (Polymer in formulation); PYP (Physical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(**vaccine** composition comprising an **immunol**. active substance embedded in **microparticles** consisting of starch with reduced mol. weight)

IT **9005-25-8P**, Starch, biological studies 9005-82-7P, Amylose **9037-22-3P**, Amylopectin
RL: PEP (Physical, engineering or chemical process); PRP (Properties); **PUR (Purification or recovery)**; PYP (Physical process); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
(**vaccine** composition comprising an **immunol**. active substance embedded in **microparticles** consisting of starch with reduced mol. weight)

IT 7429-90-5D, Aluminum, salts
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(**vaccine** composition comprising an **immunol**. active substance embedded in **microparticles** consisting of starch with reduced mol. weight)

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L50 ANSWER 10 OF 20 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:525955 HCAPLUS
DOCUMENT NUMBER: 135:112008
TITLE: Amphiphilic and ionic polymer matrixes and derivatives thereof for use in **pharmaceutical** vesicles
INVENTOR(S): De Miguel, Ignacio; Imbertie, Laurent; Betbeder, Didier; Lescure, Francois; Kravtzoff, Roger
PATENT ASSIGNEE(S): Biovector Therapeutics SA, Fr.
SOURCE: PCT Int. Appl., 45 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001051090	A2	20010719	WO 2001-FR64	20010110
WO 2001051090	A3	20020228		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
FR 2803526	A1	20010713	FR 2000-329	20000112
FR 2803517	A1	20010713	FR 2000-15126	20001123

PRIORITY APPLN. INFO.: FR 2000-329 A 20000112
FR 2000-15126 A 20001123

AB The invention relates to a novel type of amphiphilic and ionic polymer

matrixes comprising a macromol. hydrophilic matrix bearing a pos. or neg. ionic charge, whereby a lipidic phase having a sign opposite to that of the matrix is incorporated therein. The invention also refers to a method for the production and use thereof. A suspension of amphiphilic submicron vesicles was prepared containing submicron particles 72, dipalmitoyl phosphatidyl choline 1.33, cetyl tri-Me ammonium bromide 0.53, and halofantrine 2 mg/mL. The % incorporation of halofantrine in the vesicles was 100%.

IC ICM A61K047-36
ICS A61K007-00; A61K009-00; A23L001-00; A61P031-10; A61P005-30

CC 63-6 (Pharmaceuticals)
Section cross-reference(s): 38

ST amphiphilic ionic polymer **pharmaceutical** vesicle halofantrine

IT Cardiolipins
Fatty acids, biological studies
Lipids, biological studies
Oligosaccharides, biological studies
Phospholipids, biological studies
Polysaccharides, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(amphiphilic and ionic polymer matrixes and derivs. thereof for use in **pharmaceutical** vesicles)

IT Surfactants
(anionic; amphiphilic and ionic polymer matrixes and derivs. thereof for use in **pharmaceutical** vesicles)

IT Surfactants
(cationic; amphiphilic and ionic polymer matrixes and derivs. thereof for use in **pharmaceutical** vesicles)

IT Phosphatidylglycerols
Phosphatidylserines
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(diacyl derivs.; amphiphilic and ionic polymer matrixes and derivs. thereof for use in **pharmaceutical** vesicles)

IT Drug delivery systems
(films; amphiphilic and ionic polymer matrixes and derivs. thereof for use in **pharmaceutical** vesicles)

IT Drug delivery systems
(inhalants; amphiphilic and ionic polymer matrixes and derivs. thereof for use in **pharmaceutical** vesicles)

IT Drug delivery systems
(liposomes; amphiphilic and ionic polymer matrixes and derivs. thereof for use in **pharmaceutical** vesicles)

IT Drug delivery systems
(nanoparticles; amphiphilic and ionic polymer matrixes and derivs. thereof for use in **pharmaceutical** vesicles)

IT Surfactants
(nonionic; amphiphilic and ionic polymer matrixes and derivs. thereof for use in **pharmaceutical** vesicles)

IT Drug delivery systems
(ophthalmic; amphiphilic and ionic polymer matrixes and derivs. thereof for use in **pharmaceutical** vesicles)

IT Drug delivery systems
(**parenterals**; amphiphilic and ionic polymer matrixes and derivs. thereof for use in **pharmaceutical** vesicles)

IT Drug delivery systems
(solns., ophthalmic; amphiphilic and ionic polymer matrixes and derivs. thereof for use in **pharmaceutical** vesicles)

IT Drug delivery systems
(tapes; amphiphilic and ionic polymer matrixes and derivs. thereof for

use in **pharmaceutical** vesicles)

IT Drug delivery systems
(topical; amphiphilic and ionic polymer matrixes and derivs. thereof for use in **pharmaceutical** vesicles)

IT Drug delivery systems
(vaginal; amphiphilic and ionic polymer matrixes and derivs. thereof for use in **pharmaceutical** vesicles)

IT 51-84-3, Choline acetate, biological studies 57-09-0, Cetyltrimethyl ammonium bromide 63-89-8, Dipalmitoylphosphatidyl choline 106-89-8, biological studies 107-43-7D, betaine, esters 302-79-4, Trans-Retinoic acid 541-15-1D, Carnitine, acyl derivs. 979-32-8, Estradiol valerate 1397-89-3, Amphotericin b **9037-22-3**, **Amylopectin** 9050-36-6, Maltodextrin 10025-87-3, Phosphoric trichloride 13895-77-7, Glycidyl trimethyl ammonium bromide 14357-21-2, Dioctadecyl dimethyl ammonium 59865-13-3, Cyclosporin a 69756-53-2, Halofantrine 124050-77-7, DOGS 144189-73-1, DOTAP
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(amphiphilic and ionic polymer matrixes and derivs. thereof for use in **pharmaceutical** vesicles)

L50 ANSWER 11 OF 20 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1998:389122 HCAPLUS
DOCUMENT NUMBER: 129:45340
TITLE: Method of preparing drug-macromolecular complex preparations using coordination bond
INVENTOR(S): Ikada, Yoshito; Tabata, Yasuhiko
PATENT ASSIGNEE(S): Seisan Kaihatsu Kagaku Kenkyusho, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 12 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 10158195	A2	19980616	JP 1996-354252	19961128

PRIORITY APPLN. INFO.: JP 1996-354252 19961128

AB Drugs having chelating ability are mixed with macromol. substances having chelating ability or chelating ligands in the presence of metal ions to give the title prepns. DTPA anhydride-modified pullulan having DTPA residues at 0.062 μmol/mg was mixed with an aqueous solution containing IFN and ZnCl2 to give IFN-pullulan chelate complex, which accumulated in the liver of mice.

IC ICM A61K047-30

CC 63-6 (Pharmaceuticals)
Section cross-reference(s): 8, 15

IT Drug delivery systems
(**injections**; drug-macromol. chelate complexes prepns. for drug targeting)

IT Radiosensitizers, biological
(**pharmaceutical**; drug-macromol. chelate complexes prepns. for drug targeting)

IT 7440-66-6DP, Zinc, chelates with water-soluble macromols. and drugs, biological studies 7440-70-2DP, Calcium, chelates with water-soluble macromols. and drugs, biological studies 9001-63-2DP, Lysozyme, chelates with water-soluble macromols. 9002-89-5DP, Poly(vinyl alcohol), reaction products with DTPA anhydride, chelates with drugs 9037-22-3DP,

Amylopectin, reaction products with DTPA anhydride, chelates with drugs 9057-02-7DP, Pullulan, reaction products with DTPA anhydride, chelates with drugs 23911-26-4DP, DTPA anhydride, reaction products with water-soluble macromols., chelates with drugs
RL: BPR (Biological process); BSU (Biological study, unclassified); PNU (Preparation, unclassified); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
(drug-macromol. chelate complexes prepns. for drug targeting)

L50 ANSWER 12 OF 20 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1997:463833 HCAPLUS
DOCUMENT NUMBER: 127:126466
TITLE: Tumor accumulation of polymers and microgels with different size after **intravenous injection**
AUTHOR(S): Ikada, Y.; Tabata, Y.; Murakami, Y.
CORPORATE SOURCE: Research Center for Biomedical Engineering, Kyoto University, Kyoto, 606, Japan
SOURCE: Proceedings of the International Symposium on Controlled Release of Bioactive Materials (1997), 24th, 777-778
CODEN: PCRMEY; ISSN: 1022-0178
PUBLISHER: Controlled Release Society, Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB When variously-sized polymers were i.v. **injected** to tumor-bearing mice, there existed an optimal range of mol. size for high tumor accumulation of the polymers. This can be explained on the basis of **pharmacokinetic** anal. As the mol. size of polymer increased, their accumulation rate at the tumor tissue decreased, while their AUC value increased.
CC 63-5 (Pharmaceuticals)
Section cross-reference(s): 1
IT Drug delivery systems
(**injections**, i.v.; tumor accumulation of polymers and microgels with different size after i.v. **injection**)
IT Microgels
Neoplasm
(tumor accumulation of polymers and microgels with different size after i.v. **injection**)
IT Polymers, biological studies
Polyoxyalkylenes, biological studies
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(tumor accumulation of polymers and microgels with different size after i.v. **injection**)
IT Biological transport
(uptake; tumor accumulation of polymers and microgels with different size after i.v. **injection**)
IT 9002-89-5, Polyvinyl alcohol 9004-54-0, Dextran, biological studies 9037-22-3, **Amylopectin** 25322-68-3, Peg
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(tumor accumulation of polymers and microgels with different size after i.v. **injection**)

L50 ANSWER 13 OF 20 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1997:97244 HCAPLUS
DOCUMENT NUMBER: 126:105683

TITLE: Preparation of aqueous dispersions of particles of crosslinked water-soluble polymers, the particles obtained, and their **pharmaceutical** use
INVENTOR(S): Vanderhoff, John W.; Lu, Cheng Xun; Lee, Clarence C.; Tsai, Chi-Chun
PATENT ASSIGNEE(S): C.R. Bard, Inc., USA; Lehigh University
SOURCE: PCT Int. Appl., 137 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9639464	A1	19961212	WO 1996-US10249	19960606
W: JP				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
EP 830416	A1	19980325	EP 1996-922457	19960606
R: BE, CH, DE, ES, FR, GB, IT, LI, LU, NL, SE, IE				
JP 11507679	T2	19990706	JP 1996-502262	19960606

PRIORITY APPLN. INFO.: US 1995-466676 A 19950606
WO 1996-US10249 W 19960606

AB Crosslinked water-soluble polymer particles are prepared by combining an aqueous solution of a water-soluble polymer, particularly a polysaccharide, with an oil medium so as to form an emulsion of droplets of the water-soluble polymer, and adding to the emulsion a crosslinking agent so as to form crosslinked water-soluble polymer particles. Their use includes administration by **injection** to a patient in need of treatment an aqueous suspension of the water-soluble polymer particles. Thus, an aqueous solution of Na alginate containing XAMA 7 as crosslinking agent at pH 11 was agitated with toluene in the presence of Span 60 to form a water-in-oil emulsion. When the desired droplet size distribution was obtained, the pH was adjusted to 7-8 with HOAc to initiate crosslinking, producing a dispersion of polymer microspheres with diameter <150 μm.

IC ICM C08J003-26
ICS A61L031-00; A61L027-00
CC 44-5 (Industrial Carbohydrates)
Section cross-reference(s): 63
IT 1398-61-4, Chitin 9000-07-1, Carrageenan 9002-89-5, Poly(vinyl alcohol) 9003-39-8, Poly(N-vinylpyrrolidone) 9004-54-0, Dextran, processes 9004-61-9, Hyaluronic acid 9004-62-0, Hydroxyethyl cellulose 9004-65-3, Methocel K 4M 9004-67-5, Methyl cellulose 9005-25-8, Starch, processes 9005-38-3, Sodium alginate 9005-49-6, Heparin sulfate, processes 9005-79-2, Glycogen, processes 9005-82-7, Amylose 9007-28-7, Chondroitin sulfate 9012-36-6, Agarose 9012-76-4, Chitosan 9037-22-3, **Amylopectin** 11138-66-2, Xanthan 24967-94-0, Dermatan sulfate 54724-00-4, Curdlan 142804-65-7, Gellan 169799-44-4, Keratin sulfate
RL: PEP (Physical, engineering or chemical process); PROC (Process)
(preparation of aqueous dispersions of particles of crosslinked water-soluble polymers)

L50 ANSWER 14 OF 20 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1993:415338 HCAPLUS
DOCUMENT NUMBER: 119:15338
TITLE: New use of acidic polysaccharide esters as anti-ulcer

agents
INVENTOR(S): Romeo, Aurelio; Toffano, Gino; Callegaro, Lanfranco
PATENT ASSIGNEE(S): Fidia S.p.A., Italy
SOURCE: PCT Int. Appl., 41 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9305792	A1	19930401	WO 1992-EP2133	19920914
W: AT, AU, BB, BG, BR, CA, CH, CS, DE, DK, ES, FI, GB, HU, JP, KP, KR, LK, LU, MG, MN, MW, NL, NO, PL, RO, RU, SD, SE				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, SN, TD, TG				
AU 9225481	A1	19930427	AU 1992-25481	19920914
EP 605478	A1	19940713	EP 1992-919162	19920914
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, SE				
US 5300493	A	19940405	US 1992-945495	19920916
PRIORITY APPLN. INFO.:			IT 1991-PD163	19910916
			WO 1992-EP2133	19920914

AB Choline esters of acidic polysaccharides, such as hyaluronic acid, alginic acid, and CM cellulose, are effective as ulcer inhibitors and gastroprotective agents. Alginic acid choline ester (I) was orally administered to rats before reserpine **injection**; gastroprotective activity of I was dose-dependent and its efficacy was greater than that of sucralfate. A packet to mix with water before use comprised granules containing I 400, crosslinked Na CMC 450, colloidal silica 10, talc 30, aspartame 20, flavor q.s., and sucrose to 3500 mg.

IC ICM A61K031-72
CC 63-6 (Pharmaceuticals)
IT **Pharmaceutical** dosage forms
(granules, acidic polysaccharide choline esters in, for ulcer treatment)
IT **Pharmaceutical** dosage forms
(tablets, acidic polysaccharide choline esters in, for ulcer treatment)
IT 9005-82-7, Amylose 9037-22-3, **Amylopectin**
RL: BIOL (Biological study)
(starch containing, gastroprotective carboxyalkyl starch choline esters preparation from)

L50 ANSWER 15 OF 20 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1991:234939 HCAPLUS
DOCUMENT NUMBER: 114:234939
TITLE: Polysaccharide-coated oil droplets in oil-in-water emulsions as targetable carriers for lipophilic drugs
AUTHOR(S): Iwamoto, Kiyoshi; Kato, Takashi; Kawahara, Masahiro; Koyama, Noritoshi; Watanabe, Sumio; Miyake, Yasuo; Sunamoto, Junzo
CORPORATE SOURCE: Dep. Pharm. Res., Eisai Co., Ltd., Tsukuba, 300-26, Japan
SOURCE: Journal of Pharmaceutical Sciences (1991), 80(3), 219-24
CODEN: JPMSAE; ISSN: 0022-3549
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The surface of oil droplets in an oil-in-water (o/w) emulsion were coated

with naturally occurring polysaccharides (such as mannan, **amylopectin**, and pullulan) which were, in part, bearing a cholesterol moiety. The mean size of the colloidal droplets was not altered much, even by coating with the polysaccharide derivs., while the surface charge of the droplet decreased upon coating. Mannan and **amylopectin** derivative-coated droplets aggregated upon addition of Con A. These observations suggest that the terminal sugar moiety of the specific polysaccharides on the surface of colloidal droplets can be recognized by lectin. After i.v. **injection** of the emulsions into guinea pigs, kinetics of the blood clearance and the tissue distribution of the polysaccharide-coated oil droplets, which contain [14C]coenzyme Q10 as the marker, were investigated. In the initial rapid phase of blood clearance of the radioactivity, the polysaccharide-coated droplets were cleared from the blood stream slower than the uncoated ones. The lung uptake of the mannan derivative-coated droplet emulsion at 30 min after i.v. **injection** was .apprx.15 times higher than that of the conventional emulsion without the polysaccharide coat.

CC 63-5 (Pharmaceuticals)
Section cross-reference(s): 33

IT **Pharmaceutical** dosage forms
(emulsions, oil droplets coated with polysaccharide cholesterol derivs. for, for targeting drugs)

IT 57-88-5D, Cholesterol, polysaccharide derivs. 9037-22-3D, **Amylopectin**, cholesteryl derivs. 9057-02-7D, Pullulan, cholesteryl derivs.
RL: BIOL (Biological study)
(oil droplets coated with, for emulsions for targeting drugs)

L50 ANSWER 16 OF 20 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1984:73899 HCAPLUS
DOCUMENT NUMBER: 100:73899
TITLE: Improved drug delivery to target specific organs using liposomes coated with polysaccharides
AUTHOR(S): Sunamoto, Junzo; Iwamoto, Kiyoshi; Takada, Masahiro; Yuzuriha, Teruaki; Katayama, Kouichi
CORPORATE SOURCE: Fac. Eng., Nagasaki Univ., Nagasaki, 852, Japan
SOURCE: Polymer Science and Technology (Plenum) (1983), 23(Polym. Med.), 157-68
CODEN: POSTB5; ISSN: 0093-6286
DOCUMENT TYPE: Journal
LANGUAGE: English

AB An assembly of a cell wall-like structure on the outermost surface of liposomes was constructed, which makes liposomes tough against chemical and physicochem. lyses of liposomal membranes caused by external stimuli. Partly modified polysaccharides, O-palmitoylpullulan (OPP) [53572-58-0] and O-**palmitoylamylopectin** (OPA) [86090-06-4] were used for coating the outermost surface of egg phosphatidylcholine liposomes. The efficiency of coating liposomes with the artificial cell wall was ascertained by 4 different methods: (1) isolation of polysaccharide-coated liposomes by gel-filtration, (2) reduced permeability for a water-soluble material, carboxyfluorescein, encapsulated in the interior of liposomes, (3) increased resistance against the enzymic lysis with phospholipase D for the coated liposomes, and (4) decreased probability in the enzymic digestion with pullulanase of the polysaccharide strongly bound to the surface of liposomes. This suggests a wide usage of the polysaccharide-coated liposomes as an improved drug carrier. When conventional liposomes are administered, they are highly distributed in liver and kidney in general because of their hydrophobic (lipophilic) character. However, 14C-labeled CoQ10 [303-98-0] encapsulated in the

OPA-coated liposomes was more highly distributed in spleen and lung after i.v. **injection** through the femoral vein of male guinea pigs.
CC 63-6 (Pharmaceuticals)
ST liposome coating pullulan **amylopectin**; delivery system drug liposome; polysaccharide liposome drug delivery
IT **Pharmaceuticals**
(delivery systems for, polysaccharide-coated liposomes as)

L50 ANSWER 17 OF 20 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1980:191061 HCAPLUS
DOCUMENT NUMBER: 92:191061
TITLE: The catabolism of low molecular weight hydroxyethylated **amylopectin** in man. II. Changes in the urinary molecular profiles
AUTHOR(S): Mishler, John Milton; Ricketts, C. R.; Parkhouse, E. J.; Borberg, H.; Gross, R.
CORPORATE SOURCE: Med. Universitatsklin. Koeln, Cologne, 5000/41, Fed. Rep. Ger.
SOURCE: International Journal of Clinical Pharmacology, Therapy and Toxicology (1980), 18(1), 5-9
CODEN: IJCPB5; ISSN: 0300-9718
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Rates of urinary excretion concomitant with changes occurring in the mol. size distribution were determined in normal men dosed with 400 mL of a 14% solution of low-mol.-weight hydroxyethylated **amylopectin** [56448-79-4] (LMW-HES, mol. weight 264,000). Approx. 15% of the total infused LMW-HES was excreted in the urine during the 1st postinjection hour, and 50% by 24 h. Even though 15% of the total **injected** LMW-HES dose appeared in the urine 1 h postinfusion, the viscosity of the voided urine was only 30% above that of distilled H2O. The relation between urine viscosity and LMW-HES concentration was well described math. by the 1st-order equation: y = 0.774 + 0.0107x. Gel filtration using a column of CL-4B Sepharose showed that aliquots of urine collected postinjection contained mol.-weight fractions with lower values than the original **injected** LMW-HES, and with less polydispersity. Apparently, the catabolism of this material occurs in 2 distinct phases: a rapid initial hydrolysis, followed by a slow elimination influenced by the degree of hydroxyethylation.
CC 1-2 (Pharmacodynamics)
ST **amylopectin** hydroxyethyl catabolism urine; **hydroxyethylamylopectin** catabolism **pharmacokinetics** urine; starch hydroxyethyl catabolism urine
IT Urine
(hydroxylated **amylopectin** excretion in, mol. weight in relation to)
IT Molecular weight
(of hydroxylated **amylopectin**, catabolism and urinary excretion in relation to)

L50 ANSWER 18 OF 20 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1977:444241 HCAPLUS
DOCUMENT NUMBER: 87:44241
TITLE: Use of 20,22-dihydrocardenolide glycosides in the treatment of blood circulation disorders
INVENTOR(S): Chaumann, Wolfgang; Dietmann, Karl; Bartsch, Wolfgang; Kaiser, Fritz; Voigtlaender, Wolfgang
PATENT ASSIGNEE(S): Boehringer Mannheim G.m.b.H., Fed. Rep. Ger.
SOURCE: Ger. Offen., 14 pp.
CODEN: GWXXBX

DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2546778	A1	19770428	DE 1975-2546778	19751018

PRIORITY APPLN. INFO.: DE 1975-2546778 19751018

AB **Pharmaceutical** compns. containing derivs. of 20,22-dihydrocardenolide glycosides are prepared for the treatment of blood circulatory disorders connected with cardiac failures. The compns. can be administered orally or **parenterally**. For example, tablets were formulated containing 20,22-dihydro-β-methyldigoxin (I) [53152-57-1] 1.000, KH2PO4 2.694, Na2HPO4 1.306, lactose 73.100, polyvinylpyrrolidone 4.500, colloidal silicic acid 1.000, **amylopectin** glycolate Na 2.000, talc 4.000,and Mg stearate 0.400 g. The mixture was tableted, and each tablet contained 1 mg I.

IC A61K031-705
CC 63-6 (Pharmaceuticals)

L50 ANSWER 19 OF 20 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1976:126762 HCAPLUS
DOCUMENT NUMBER: 84:126762
TITLE: **Pharmaceutical** preparation containing 5-(4-chloro-5-sulfamoyl-2-thenylaminophenyl)tetrazole and 3-(3-oxo-7α-acetylthio-17β-hydroxy-4-androstene-17α-yl)-propionic acid-γ-lactone
INVENTOR(S): Kuhn, Rolf; Hardebeck, Klaus; Heinemann, Helmut
PATENT ASSIGNEE(S): Boehringer Mannheim G.m.b.H., Fed. Rep. Ger.
SOURCE: Ger. Offen., 9 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2423606	A1	19751127	DE 1974-2423606	19740515
US 4031213	A	19770621	US 1975-568640	19750416
AU 7581023	A1	19761111	AU 1975-81023	19750509
GB 1457481	A	19761201	GB 1975-19605	19750509
NL 7505534	A	19751118	NL 1975-5534	19750512
NL 156920	B	19780615		
BE 829023	A1	19751113	BE 1975-156310	19750513
FR 2270869	A1	19751212	FR 1975-14988	19750514
FR 2270869	B1	19781006		

PRIORITY APPLN. INFO.: DE 1974-2423606 19740515

AB The preparation of oral or **parenteral pharmaceutical** formulations containing both 5-(4-chloro-5-sulfamoyl-2-thenylaminophenyl)tetrazole (I) [27589-33-9] and 3-(3-oxo-7α-acetylthio-17β-hydroxy-4-androsten-17α-yl)propionic acid γ-lactone (II) [52-01-7] or their salts for the treatment of hydropic conditions is described. I and II are both diuretics; given together, they enhance H2O and Na+ excretion, but the presence of II inhibits the undesirable increase in K+ excretion caused by I alone. I and II are present in the combined preparation in the ratios 1:5-10:5. Thus, a

micronized mixture of II 1000, lactose 2880, and Na lauryl sulfate 120 g was mixed with a preparation composed of I 300, lactose 1696, Na CM-**amylopectin** 200, and highly dispersed silicic acid 4 g. The total mixture was granulated, dried, and sieved, and the preparation was mixed with cornstarch 160 and Mg stearate 40 g. The final preparation was encapsulated or tabletted in 320-mg units, whereby each unit contained 15 mg I and 50 mg II.

IC A61K
CC 63-6 (Pharmaceuticals)

L50 ANSWER 20 OF 20 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1943:882 HCAPLUS
DOCUMENT NUMBER: 37:882
ORIGINAL REFERENCE NO.: 37:182g-i,183a
TITLE: The **pharmacology** of sodium hydroxyacetate with observations on the toxicity of glycine
AUTHOR(S): Riker, Walter F.; Gold, Harry
SOURCE: Journal of the American Pharmaceutical Association (1912-1977) (1942), 31, 306-12
CODEN: JPHAA3; ISSN: 0003-0465
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable

AB cf. C. A. 36, 2026.7. Na hydroxyacetate is toxic for cats and dogs, and produces similar effects in both species. An oral dose of 0.1 g. per kg. rarely causes toxic effects; 0.25 g. per kg. is toxic, but not fatal; 0.5 g. per kg. (corresponding to about 35 g. for a man) may prove fatal. The absorption of Na hydroxyacetate from the gastrointestinal tract appears to be rather slow, but indications are that it is fairly complete. The onset of effects of Na hydroxyacetate is slow even after **intravenous injection**, the length of the latent period varying inversely with the dose. The course of action is protracted: in the typical case effects appear after about 30 min., progress in intensity during the next 24 hrs., and either subside gradually during the subsequent several days or increase in intensity and prove fatal in several days. The cat eliminates nontoxic doses within 24 hrs. or less; the recovery from toxic doses, however, is so slow as to suggest some impairment of elimination or an injury which progresses independently of the elimination of the drug. The symptoms of Na hydroxyacetate toxicity are anorexia, nausea and vomiting, neuromuscular disturbances, with weakness, ataxia, muscle twitching and convulsions. The drug exerts a nephrotoxic action resulting in tubular degeneration and marked elevation of the blood nonprotein N and creatinine. Limited comparisons with other organic acids showed that it is more toxic than fumaric, citric, acetic and aminoacetic acids. Glycine is toxic to cats and dogs, producing symptoms resembling in many respects those of Na hydroxyacetate, but not identical with the latter. The mechanism of action and metabolism of Na hydroxyacetate are discussed.

CC 11H (Biological Chemistry: Pharmacology)
IT Glycolic acid, sodium salt, compound with **amylopectin** (**pharmacology** of)